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| NEWS 3 | APR 15 | WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats |
| NEWS 4 | APR 28 | EMBASE Controlled Term thesaurus enhanced |
| NEWS 5 | APR 28 | IMSRESEARCH reloaded with enhancements |
| NEWS 6 | MAY 30 | INPAFAMDB now available on STN for patent family searching |
| NEWS 7 | MAY 30 | DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option |
| NEWS 8 | JUN 06 | EPFULL enhanced with 260,000 English abstracts |
| NEWS 9 | JUN 06 | KOREAPAT updated with 41,000 documents |
| NEWS 10 | JUN 13 | USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications |
| NEWS 11 | JUN 19 | CAS REGISTRY includes selected substances from web-based collections |
| NEWS 12 | JUN 25 | CA/CAplus and USPAT databases updated with IPC reclassification data |
| NEWS 13 | JUN 30 | AEROSPACE enhanced with more than 1 million U.S. patent records |
| NEWS 14 | JUN 30 | EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations |
| NEWS 15 | JUN 30 | STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in |
| NEWS 16 | JUN 30 | STN AnaVist enhanced with database content from EPFULL |
| NEWS 17 | JUL 28 | CA/CAplus patent coverage enhanced |
| NEWS 18 | JUL 28 | EPFULL enhanced with additional legal status information from the epoline Register |
| NEWS 19 | JUL 28 | IFICDB, IFIPAT, and IFIUDB reloaded with enhancements |
| NEWS 20 | JUL 28 | STN Viewer performance improved |
| NEWS 21 | AUG 01 | INPADOCDB and INPAFAMDB coverage enhanced |
| NEWS 22 | AUG 13 | CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998 |
| NEWS 23 | AUG 15 | CAOLD to be discontinued on December 31, 2008 |
| NEWS 24 | AUG 15 | CAplus currency for Korean patents enhanced |
| NEWS 25 | AUG 25 | CA/CAplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching |
| NEWS 26 | AUG 27 | CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information |

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                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

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=> s neuropeptide Y
L1       46771 NEUROPEPTIDE Y

=> s sexual dysfunction
L2       27134 SEXUAL DYSFUNCTION

=> s sexual disorder
L3        6364 SEXUAL DISORDER

=> L2 or L3
L2 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l2 or L3
L4       31764 L2 OR L3

=> s L1 and L4
L5          85 L1 AND L4

=> dup rem L5
PROCESSING COMPLETED FOR L5
L6           80 DUP REM L5 (5 DUPLICATES REMOVED)

=> s L6 and (AY<2002 or PY<2002 or PRY<2002)
'2002' NOT A VALID FIELD CODE
'2002' NOT A VALID FIELD CODE
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   2 FILES SEARCHED...
'2002' NOT A VALID FIELD CODE
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=> d 30-39 L7 ibib abs

L7   ANSWER 30 OF 39  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2001:338067  CAPLUS
DOCUMENT NUMBER:          134:348236
TITLE:                    Phosphodiesterase inhibitors for the treatment of
                          female sexual arousal dysfunction
INVENTOR(S):              Maw, Graham Nigel; Wayman, Christopher Peter
PATENT ASSIGNEE(S):       Pfizer Limited, UK; Pfizer Inc.
SOURCE:                   Eur. Pat. Appl., 129 pp.
                          CODEN: EPXXDW
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:

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     EP 1097706           A1    20010509    EP 2000-309718         20001103 <--
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             IE, SI, LT, LV, FI, RO
     AT 285249            T     20050115    AT 2000-309722         20001103 <--
     PT 1097719           T     20050429    PT 2000-309722         20001103 <--
     ES 2233297           T3    20050616    ES 2000-309722         20001103 <--
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     HU 2000004349        A2    20010628    HU 2000-4349           20001107 <--
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     CN 1320426           A     20011107    CN 2000-137665         20001107 <--
     CN 1322526           A     20011121    CN 2000-137671         20001107 <--
     CN 1328824           A     20020102    CN 2000-137670         20001107 <--
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     NZ 508007            A     20020628    NZ 2000-508007         20001107 <--
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     CN 1575816           A     20050209    CN 2004-10071390       20001107 <--
     CN 1636597           A     20050713    CN 2004-10085955       20001107 <--
     JP 2001206855        A     20010731    JP 2000-339905         20001108 <--
     JP 2001213802        A     20010807    JP 2000-339853         20001108 <--
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| US 20040254153 | A1 | 20041216 | US 2003-686390 | | 20031015 <-- |
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| IN 2004DE00033 | A | 20070504 | IN 2004-DE33 | | 20040107 <-- |
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| KR 2004074023 | A | 20040821 | KR 2004-50973 | | 20040701 <-- |
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| JP 2005021167 | A | 20050127 | JP 2004-267669 | | 20040915 <-- |
| JP 2005043377 | A | 20050217 | JP 2004-269807 | | 20040916 <-- |
| JP 2005070055 | A | 20050317 | JP 2004-269732 | | 20040916 <-- |
| AU 2005201482 | A1 | 20050505 | AU 2005-201482 | | 20050407 <-- |
| AU 2005202166 | A1 | 20050616 | AU 2005-202166 | | 20050518 <-- |
| AU 2005202750 | A1 | 20050721 | AU 2005-202750 | | 20050623 <-- |
| JP 2005350482 | A | 20051222 | JP 2005-233224 | | 20050811 <-- |
| PRIORITY APPLN. INFO.: | | | GB 1999-26437 | A | 19991108 <-- |
| | | | GB 2000-4021 | A | 20000218 <-- |
| | | | GB 2000-13001 | A | 20000526 <-- |
| | | | GB 2000-16563 | A | 20000705 <-- |
| | | | GB 2000-17141 | A | 20000712 <-- |
| | | | US 2000-175161P | P | 20000107 <-- |
| | | | US 2000-192962P | P | 20000329 <-- |
| | | | US 2000-217479P | P | 20000711 <-- |
| | | | US 2000-221014P | P | 20000727 <-- |
| | | | US 2000-221093P | P | 20000727 <-- |
| | | | AU 2000-71408 | A3 | 20001106 <-- |
| | | | CN 2000-137670 | A3 | 20001107 <-- |
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| | | | KR 2000-65868 | A3 | 20001107 <-- |
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| | | | JP 2000-339949 | A3 | 20001108 <-- |
| | | | JP 2000-339957 | A3 | 20001108 <-- |
| | | | US 2000-708392 | A3 | 20001108 <-- |

AB A method of treating a female suffering from female sexual dysfunction (FSD), in particular female sexual arousal dysfunction (FSAD), is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia; wherein the agent is in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a pharmaceutically acceptable carrier, diluent or excipient. Said agent is a phosphodiesterase (PDE) inhibitor wherein said PDE is a cAMP hydrolyzing PDE (and optionally cGMP hydroyzing).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:880962 CAPLUS

DOCUMENT NUMBER: 134:42445

TITLE: Preparation of piperidine amino acid derivatives as melanocortin-4 receptor agonists

INVENTOR(S): Bakshi, Raman K.; Barakat, Khaled J.; Nargund, Ravi P.; Palucki, Brenda L.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong; Van, Der Ploeg Leonardus H. T.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Van Der Ploeg, Leonardus H. T.

SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000074679 | A1 | 20001214 | WO 2000-US14930 | 20000531 <-- |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2377369 | A1 | 20001214 | CA 2000-2377369 | 20000531 <-- |
| EP 1187614 | A1 | 20020320 | EP 2000-937961 | 20000531 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2003505435 | T | 20030212 | JP 2001-512328 | 20000531 <-- |
| AU 766191 | B2 | 20031009 | AU 2000-53068 | 20000531 <-- |
| US 6350760 | B1 | 20020226 | US 2000-585111 | 20000601 <-- |
| US 20020137664 | A1 | 20020926 | US 2001-990499 | 20011121 <-- |
| AU 2003248456 | A1 | 20031106 | AU 2003-248456 | 20030929 <-- |

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| PRIORITY APPLN. INFO.: | US 1999-137477P | P | 19990604 <-- |
| | US 1999-169209P | P | 19991202 <-- |
| | WO 2000-US14930 | W | 20000531 <-- |
| | US 2000-585111 | A3 | 20000601 <-- |

OTHER SOURCE(S): MARPAT 134:42445
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n = 0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CHRb)n-cycloalkyl, -aryl, -heteroaryl, -O(CHRb)naryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepared as agonists of the human melanocortin receptors, in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepared by coupling of Et 1-(D-4-chlorophenylalanyl)-4-cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (preparation given) with N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and > 580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:814460 CAPLUS

DOCUMENT NUMBER: 133:350139
TITLE: Preparation of 3a,4,5,9b-tetrahydro-1H-benzo[e]indol-2-yl amine-derived neuropeptide y receptors ligands useful in the treatment of obesity and disorders of CNS
INVENTOR(S): Dax, Scott; Mcnally, James
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000068197 | A1 | 20001116 | WO 2000-US10981 | 20000420 <-- |
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| EP 1177172 | A1 | 20020206 | EP 2000-928340 | 20000420 <-- |
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| US 6841552 | B1 | 20050111 | US 2000-552969 | 20000420 <-- |
| TW 553933 | B | 20030921 | TW 2000-89108583 | 20000703 <-- |
| MX 2001PA11321 | A | 20030801 | MX 2001-PA11321 | 20011105 <-- |
| US 20050054709 | A1 | 20050310 | US 2004-900554 | 20040728 <-- |
| US 6987188 | B2 | 20060117 | | |

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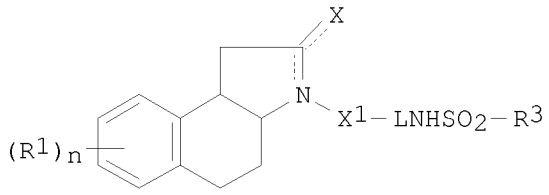
| | WO 2000-US10981 | W | 20000420 <-- |

OTHER SOURCE(S): MARPAT 133:350139
GI

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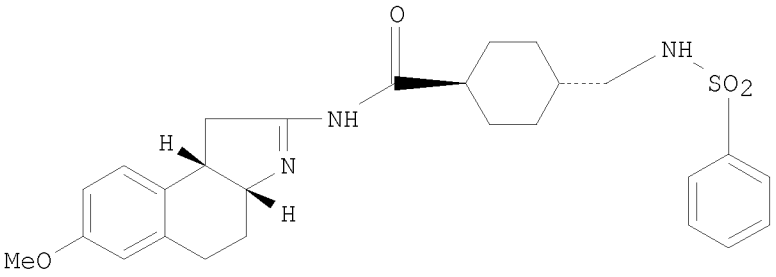


II

AB Title compds. [I; X = NR2YLZ, NH; X1 = CH2, CO; dotted bonds = single, double; R1 = H, OH, Cl, F, I, Br, alkyl alkoxy, (un)substituted phenyl; R3 = alkyl, cycloalkyl, naphthyl, heteroaryl, (un)substituted phenyl; n = 0, 1, 2; R2 = H, alkyl; Y = CH2, CO; L = alkylene, cycloalkylene, arylclkylene, (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl, (N-methylene)piperidin-4,4-diyl; Z = (un)substituted Ph, N-sulfonamido, N-(aryl)sulfonamido, 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl, 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl], enantiomers, diastereomers, and pharmaceutically acceptable salts are prepared as such are useful in the treatment of obesity, eating disorders, anorexia nervosa, bulimia nervosa, diabetes, hypertension, memory loss, epileptic seizures, migraine, sleep disorders, pain, sexual/reproductive disorders, depression or anxiety and disorders of the central nervous system. Pharmaceutical composition comprising therapeutically effective amount of title compds. and pharmaceutically acceptable carrier and method of treating disorders and diseases associated with NPY receptor subtype Y5 comprising administering to a mammal are claimed. Thus, the title compound II was prepared and tested for the human NPY Y5 receptor binding affinity.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:772615 CAPLUS

DOCUMENT NUMBER: 133:335247

TITLE: Preparation of triazinamines, thiazolamines, and benzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamines as selective NPY (Y5) antagonists

INVENTOR(S): Marzabadi, Mohammad R.; Wong, Wai C.; Noble, Stewart A.; Desai, Mahesh N.

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA

SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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| WO 2000064880 | A1 | 20001102 | WO 2000-US10784 | | 20000421 | <-- |
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| JP 2002543067 | T | 20021217 | JP 2000-613833 | | 20000421 | <-- |
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| | | | US 2002-9849 | A1 | 20020411 | |
| | | | US 2005-99960 | A1 | 20050406 | |
| OTHER SOURCE(S): | MARPAT 133:335247 | | | | | |

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I), (II), and (III) [wherein R1 = halo, NR3R4, or (un)substituted Ph or heteroaryl; R2 = NR3R4; R3 and R4 = independently H, hydroxyalkyl, thioalkyl, alkoxyalkyl, alkylthioalkyl, (thio)carbamoylalkyl, carboxyalkyl, aminoalkyl, cyanoalkyl, (thio)acyl, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (un)substituted phenyl(alkyl) or heteroarylalkyl; or R3 and R4 taken together with the N to which they are attached = (un)substituted azetidinyl, pyrrolidinyl, piperidinyl, azepanyl, (thio)morpholinyl, oxazepanyl, thiazepanyl, piperazinyl, or diazepanyl; R5 = substituted amino(alkyl)cyclohexyl(alkyl)amino, amino(alkyl)piperidinyl, piperidinyl(alkyl)amino, piperazinyl, etc.; Y = O,S, or NH; Ar = (un)substituted heteroaryl; R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, or (un)substituted Ph; R7 = substituted aminoalkylamino or amino(alkyl)cyclohexyl(alkyl)amino; B = O, NH, or S; X = S, S(O), or SO2; R8 = H or alkyl; R9 = H, halo, CN, OH, NO2, amino, sulfo, hydroxyalkyl, alkoxyalkyl, carbamoylalkyl, alkylaminoalkyl, polyfluoroalkyl, or (amino)alkyl; m = 0-1; n = 1-2] were prepared as selective antagonists for

the neurotransmitter neuropeptide Y (Y5) receptor. For example, reaction of N-[[4-(aminomethyl)cyclohexyl]methyl]-1-naphthalenesulfonamide with 2,4-dichloro-6-(isopropylamino)triazine afforded the triazinediamine (IV) in 60% yield. Assays of IV against cloned human NPY receptors showed selectivity for NPY (Y5) with a Ki of 138 nM compared to values of > 100,000 nM for NPY (Y1), (Y2), and (Y4). The functional in vitro activity for IV, characterized using a RIA of cAMP, was also determined (pKb = 6.0). I are useful for the treatment of obesity, bulimia nervosa, sexual/reproductive disorders, depression, epileptic seizure, hypertension, cerebral hemorrhage, congestive heart failure, sleep disturbances, or any condition in which antagonism of the Y5 receptor may be beneficial.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:741026 CAPLUS

DOCUMENT NUMBER: 133:309895

TITLE: Aminotriazole compounds useful as neuropeptide Y receptor ligands, process for their preparation, and pharmaceutical compositions containing them

INVENTOR(S): Fauchere, Jean-Luc; Ortuno, Jean-Claude; Duhault, Jacques; Boutin, Jean Albert; Levens, Nigel

PATENT ASSIGNEE(S): Adir et Compagnie, Fr.

SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1044970 | A1 | 20001018 | EP 2000-401039 | 20000414 <-- |
| EP 1044970 | B1 | 20030115 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| FR 2792314 | A1 | 20001020 | FR 1999-4721 | 19990415 <-- |
| FR 2792314 | B1 | 20010601 | | |
| MX 200003557 | A | 20020201 | MX 2000-3557 | 20000412 <-- |
| BR 2000001602 | A | 20010821 | BR 2000-1602 | 20000413 <-- |
| CA 2305940 | A1 | 20001015 | CA 2000-2305940 | 20000414 <-- |
| NO 2000001964 | A | 20001016 | NO 2000-1964 | 20000414 <-- |
| NZ 504023 | A | 20001027 | NZ 2000-504023 | 20000414 <-- |
| ZA 2000001908 | A | 20001031 | ZA 2000-1908 | 20000414 <-- |
| JP 2000309579 | A | 20001107 | JP 2000-113113 | 20000414 <-- |
| CN 1272493 | A | 20001108 | CN 2000-106579 | 20000414 <-- |
| CN 1145616 | C | 20040414 | | |
| HU 2000001562 | A2 | 20010428 | HU 2000-1562 | 20000414 <-- |
| HU 2000001562 | A3 | 20030528 | | |
| US 6245916 | B1 | 20010612 | US 2000-549745 | 20000414 <-- |
| AT 231133 | T | 20030215 | AT 2000-401039 | 20000414 <-- |
| AU 761586 | B2 | 20030605 | AU 2000-27765 | 20000414 <-- |
| ES 2190396 | T3 | 20030801 | ES 2000-401039 | 20000414 <-- |
| US 20010018522 | A1 | 20010830 | US 2001-799199 | 20010305 <-- |
| US 6596749 | B2 | 20030722 | | |

PRIORITY APPLN. INFO.: FR 1999-4721 A 19990415 <--
US 2000-549745 A3 20000414 <--

OTHER SOURCE(S): MARPAT 133:309895

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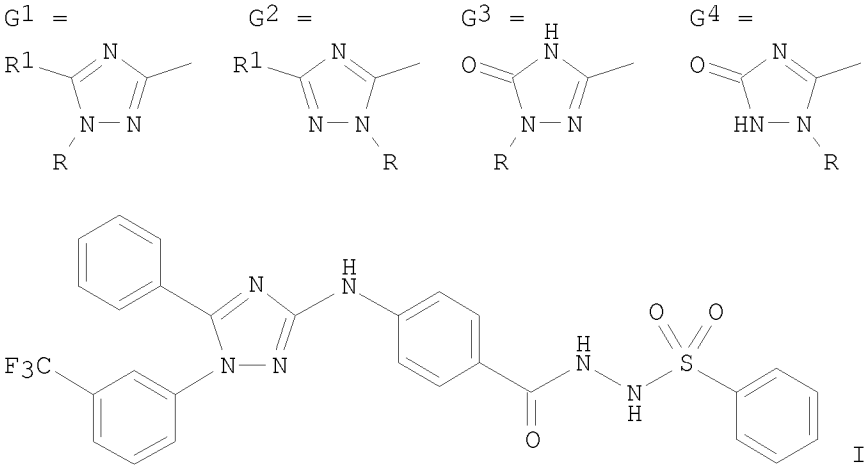


AB Title compds. Q-NH-A-CO-NH-(NH)n-W-Z (I) are disclosed [wherein n = 0 or 1; W = CO, S(O)q; q = 0, 1, 2; Q = rings G1-G4; Z = alkyl, (un)substituted aryl, heteroaryl, aralkyl, aralkenyl, etc.; A = A2, A1A2, A2A1, or A1A2A1; A1 = alkylene; A2 = cycloalkylene, (un)substituted phenylene, naphthylene, or heteroarylene; R = H, alkyl, (un)substituted aryl, heteroaryl, aralkyl, etc.; R1 = alkyl, (un)substituted aryl, heteroaryl, aralkyl, etc.]. Approx. 100 compds. I are listed, most with phys. data. I are ligands of neuropeptide Y (NPY) receptors, and as such are useful for treatment of metabolic disorders, including diabetes, obesity, bulimia, and anorexia nervosa, as well as hypertension, anxiety, depression, epilepsy, sexual disorders, and sleep disorders. For instance, 4-[[(tert-butoxycarbonyl)amino]methyl]benzoic acid was amidated with benzenesulfonohydrazide, followed by deprotection of the amine, reaction with benzoyl isothiocyanate, and cyclocondensation with 3-(trifluoromethyl)phenylhydrazine, to give title compound II. This compound had an IC50 of 80 nM for binding to Y5 receptors in vitro. Compds. I also decreased food consumption and weight gain in obese mice.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:795654 CAPLUS
DOCUMENT NUMBER: 132:22957
TITLE: Preparation of spiropiperidine derivatives as melanocortin receptor agonists
INVENTOR(S): Nargund, Ravi P.; Ye, Zhixiong; Palucki, Brenda L.; Bakshi, Raman K.; Patchett, Arthur A.; Van Der Ploeg, Leonardus H. T.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9964002 | A1 | 19991216 | WO 1999-US13252 | 19990610 <-- |

W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| CA 2334551 | A1 | 19991216 | CA 1999-2334551 | 19990610 | <-- |
| AU 9946801 | A | 19991230 | AU 1999-46801 | 19990610 | <-- |
| AU 742425 | B2 | 20020103 | | | |
| EP 1085869 | A1 | 20010328 | EP 1999-930220 | 19990610 | <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | | |
| US 6294534 | B1 | 20010925 | US 1999-329814 | 19990610 | <-- |
| JP 2002517444 | T | 20020618 | JP 2000-553071 | 19990610 | <-- |
| US 20010029259 | A1 | 20011011 | US 2001-781373 | 20010212 | <-- |
| US 6410548 | B2 | 20020625 | | | |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1998-88908P | P | 19980611 <-- |
| GB 1998-17179 | A | 19980806 <-- |
| US 1999-123260P | P | 19990308 <-- |
| US 1999-329814 | A3 | 19990610 <-- |
| WO 1999-US13252 | W | 19990610 <-- |

OTHER SOURCE(S): MARPAT 132:22957

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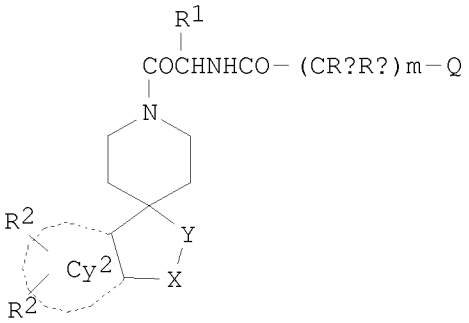


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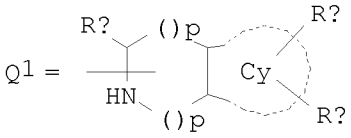


AB Certain novel spiropiperidine compds. I [Cy2 = six-membered aromatic ring containing 0 or 1 N; X = O, CH2, etc.; Q = Q1; Y = CO, SO2, etc; R1, Rb = H, C1-8 alkyl, etc.; R2 = H or halo; Rc = Rb, halo, ORb, NHSO2Rb, N(Rb)2, SO2Rb, CF3, OCF3; Cy = aryl, 5 or 6 membered heteroaryl, 5 or 6 membered heterocyclyl, 5 or 6 membered carbocyclyl; m, p, q independently = 0, 1, or 2] are agonists of melanocortin receptors (no data) and are useful for the treatment, control or prevention of diseases and disorders responsive to the activation of melanocortin receptors. The compds. of the present invention are therefore useful for treatment of diseases and disorders

such as obesity, diabetes, sexual dysfunction including erectile dysfunction and female sexual dysfunction.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:801276 CAPLUS
DOCUMENT NUMBER: 123:218491
ORIGINAL REFERENCE NO.: 123:38615a,38618a
TITLE: Neuropeptide Y: a promising therapeutic target
AUTHOR(S): Dhanoa, Dale S.
CORPORATE SOURCE: Synaptic Pharmaceutical Corporation, Paramus, NJ, 07652 1410, USA
SOURCE: Expert Opinion on Therapeutic Patents (1995), 5(5), 391-6
CODEN: EOTPEG; ISSN: 1354-3776
PUBLISHER: Ashley Publications
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review, with 65 refs. Neuropeptide Y is one of the most abundant and widely distributed peptides in both the central and peripheral nervous systems. It plays important physiol. and pathophysiol. roles in cardiovascular, eating and sleep disorders as well as depression, anxiety, pain, cocaine withdrawal and sexual dysfunction. Thus, it offers promising opportunities for therapeutic intervention. The patent literature in the neuropeptide Y area of drug discovery is examined and the therapeutic value of the latest pharmacol. tools and agents are discussed.

L7 ANSWER 37 OF 39 MEDLINE on STN
ACCESSION NUMBER: 1995357007 MEDLINE
DOCUMENT NUMBER: PubMed ID: 7630583
TITLE: Sexual function in altered physiological states: comparison of effects of hypertension, diabetes, hyperprolactinemia, and others to "normal" aging in male rats.
AUTHOR: Clark J T
CORPORATE SOURCE: Department of Physiology, Meharry Medical College, Nashville, TN 37208, USA.
CONTRACT NUMBER: GM-08037 (United States NIGMS)
HL-02482 (United States NHLBI)
RR-03032 (United States NCRR)
SOURCE: Neuroscience and biobehavioral reviews, (1995 Summer) Vol. 19, No. 2, pp. 279-302. Ref: 197
Journal code: 7806090. ISSN: 0149-7634.
PUB. COUNTRY: United States
DOCUMENT TYPE: (COMPARATIVE STUDY)
Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
General Review; (REVIEW)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199509
ENTRY DATE: Entered STN: 21 Sep 1995
Last Updated on STN: 21 Sep 1995
Entered Medline: 7 Sep 1995
AB In this review, we examine the changes in sexual function that accompany deviations from "normal" physiological states. We propose that the changes one observes in many altered physiological states should not be viewed in isolation. We describe our paradigms for assessing sexual

function, and proceed to evaluate how sexual function changes with hormonal deprivation and aging, in rat models for hypertension, in severe hyperprolactinemia, in streptozotocin-induced diabetes, after chronic alcohol intake, after chronic morphine administration, and after exposure to the heavy metal, cadmium. We will provide evidence for the involvement of adrenergic transmitters and two neuropeptides, neuropeptide Y and somatostatin, in the neuroendocrine regulation of sexual behavior. Finally, we compare and contrast the changes observed relative to the changes seen in "normal" aging in rats. The sequence of age-related changes in sexual function is distinct. The first change observed is a decrement in ex copula erectile reflexes. Next are decreases in ejaculatory threshold, followed shortly by increases in initiation and reinitiation of copulation after ejaculation. This is followed by a decrement in the number of males copulating to ejaculation. Finally, there is a failure to initiate the copulatory process. This sequelae is relatively common, being evident after castration, with hyperprolactinemia, and after exposure to cadmium. The data available for sexual function in hypertension is incomplete and modified by the etiology, but a suggestion for this sequelae is seen in SHR. In contrast, sexual dysfunction associated with chronic morphine administration appears to be due to an initial deficit in motivational aspects. Testosterone reverses sexual dysfunction associated with castration, but not with idiopathic sexual inactivity, nor with sexual dysfunction associated with aging, diabetes, or chronic morphine administration. Comparing sexual function in rat models for hypertension, diabetes and chronic ethanol leads to the conclusion that increases in blood pressure, like decreases in testosterone, cannot be the primary causal factor for sexual dysfunction. Age, hormonal history of the subject, and the age at castration influence changes in sexual function. Age-related sexual dysfunction appears to be contributed to by changes in adrenergic-neuropeptidergic, to include sympathetic, systems. Site-specific administration of NPY induces alterations in parameters of copulatory behavior which mimic those seen in aging and the retention of ejaculatory behavior with aging is associated with site-selective attenuation (or reversal) of age-associated changes in NPY content. Yohimbine enhances copulatory activity in castrated and aging rats, and attenuates or reverses the antisexual effects of clonidine, epinephrine and somatostatin.(ABSTRACT TRUNCATED AT 400 WORDS)

L7 ANSWER 38 OF 39 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN

ACCESSION NUMBER: 2001:340923 BIOSIS
DOCUMENT NUMBER: PREV200100340923
TITLE: Aminotriazole compounds.
AUTHOR(S): Fauchere, Jean-Luc [Inventor, Reprint author]; Ortuno, Jean-Claude [Inventor]; Duhault, Jacques [Inventor]; Boutin, Jean Albert [Inventor]; Levens, Nigel [Inventor]
CORPORATE SOURCE: Saint Cloud, France
ASSIGNEE: Adir et Compagnie, Courbevoie, France
PATENT INFORMATION: US 6245916 20010612
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (June 12, 2001) Vol. 1247, No. 2. e-file.
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 18 Jul 2001
Last Updated on STN: 19 Feb 2002

AB Compound of formula (I): ##STR1## wherein: n is 0 or 1, W represents --CO-- or S(O)q and q is 0, 1 or 2, G represents a G1, G2, G3 or G4 group as defined in the description, Z represents alkyl, aryl, heteroaryl,

arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkenyl, heteroarylalkynyl or heteroarylalkyl each optionally substituted. A represents a grouping selected from --A2 --, --A1 --A2 --, --A2 --A1 -- and --A1 --A2 --A1 -- wherein A1 is alkylene and A2 represents phenylene, cycloalkylene, naphthylene or heteroarylene each optionally substituted, R represents hydrogen, alkyl, aryl, heteroaryl, arylalkyl arylalkenyl, arylalkynyl, heteroarylakenyl, heteroarylalkynyl or heteroarylalkenyl each optionally substituted, R1 represents alkyl, aryl, heteroary, arylalkyl arylalkenyl, arylalkynyl, heteroarylalkenyl, heteroarylalkynyl or heteroarylalkyl each optionally substituted, and medicinal products containing the same which are useful as Neuropeptide Y receptor ligands.

L7 ANSWER 39 OF 39 EMBASE COPYRIGHT (c) 2008 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2001009795 EMBASE
TITLE: Melanocortin receptors: New opportunities in drug discovery.
AUTHOR: Wikberg, J.E.S. (correspondence)
CORPORATE SOURCE: Dept. of Pharmaceutical Biosciences, Division of Pharmacology, Uppsala University, Box 591 BMC, SE-751 24 Uppsala, Sweden. Jarl.Wikberg@farmbio.uu.se
SOURCE: Expert Opinion on Therapeutic Patents, (2001) Vol. 11, No. 1, pp. 61-76.
Refs: 43
ISSN: 1354-3776 CODEN: EOTPEG
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; General Review; (Review)
FILE SEGMENT: 003 Endocrinology
030 Clinical and Experimental Pharmacology
037 Drug Literature Index
039 Pharmacy
008 Neurology and Neurosurgery
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 19 Jan 2001
Last Updated on STN: 19 Jan 2001

AB The cloning of five different subtypes of melanocortin receptors, MC(1-5), have provided new opportunities for the discovery of drugs that may be useful for the treatment of a variety of clinically important conditions, including MC(1) receptor agonists for inflammatory diseases, MC(3) receptor agonists for sexual dysfunctions and MC(4) receptor agonists and antagonists for treatment of obesity, anorexia and drug abuse. This review discusses patents covering the cloning of the MC receptors, the endogenous MC receptor antagonists agouti signalling peptide and agouti related protein and novel compounds target towards the MC receptors.

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L7 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:391522 CAPLUS
DOCUMENT NUMBER: 136:395983
TITLE: Bombesin receptor antagonists, and combinations with other agents, for the treatment of sexual dysfunction
INVENTOR(S): Gonzalez, Maria Isabel; Stock, Herman Thijs; Pinnock, Robert Denham; Pritchard, Martyn Clive; Wayman, Christopher Peter; Van der Graaf, Pieter Hadewijn; Naylor, Alisdair Mark; Higginbottom, Michael
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 225 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002040008 | A2 | 20020523 | WO 2001-GB5018 | 20011114 <-- |
| WO 2002040008 | A3 | 20020822 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| WO 2002040022 | A1 | 20020523 | WO 2000-GB4380 | 20001117 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2429106 | A1 | 20020523 | CA 2001-2429106 | 20011114 <-- |
| AU 2002023802 | A | 20020527 | AU 2002-23802 | 20011114 <-- |
| EP 1333824 | A2 | 20030813 | EP 2001-994552 | 20011114 <-- |
| EP 1333824 | B1 | 20050907 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001015364 | A | 20030923 | BR 2001-15364 | 20011114 <-- |
| HU 2003001892 | A2 | 20031128 | HU 2003-1892 | 20011114 <-- |
| HU 2003001892 | A3 | 20050628 | | |
| JP 2004522710 | T | 20040729 | JP 2002-542382 | 20011114 <-- |
| NZ 525415 | A | 20041126 | NZ 2001-525415 | 20011114 <-- |
| AT 303804 | T | 20050915 | AT 2001-994552 | 20011114 <-- |
| MX 2003PA03482 | A | 20040910 | MX 2003-PA3482 | 20030416 <-- |
| US 20040087561 | A1 | 20040506 | US 2003-416934 | 20031204 <-- |

| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
| WO 2000-GB4380 | W | 20001117 | <-- |
| GB 2001-9910 | A | 20010423 | <-- |
| GB 2001-11037 | A | 20010504 | <-- |
| WO 2001-GB5018 | W | 20011114 | <-- |

OTHER SOURCE(S): MARPAT 136:395983

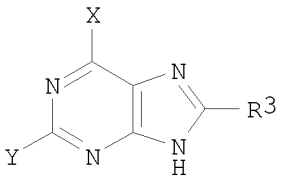
AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example phosphodiesterase V inhibitors, neutral endopeptidase inhibitors, and lasofoxifene. Preparation of compds. of the invention is described.

L7 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:368993 CAPLUS
DOCUMENT NUMBER: 136:386129
TITLE: Preparation of 2,6-substituted-8-phenyl-7H-purines as neuropeptide Y antagonists
INVENTOR(S): Elliott, Richard L.

PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE | |
|---|---|---|---|---|---|---|
| US 20020058671 | A1 | 20020516 | US 2001-819368 | | 20010328 | <-- |
| US 6511984 | B2 | 20030128 | | | | |
| US 20020061897 | A1 | 20020523 | US 2001-819366 | | 20010328 | <-- |
| US 20030100546 | A1 | 20030529 | US 2002-225663 | | 20020821 | <-- |
| US 6649759 | B2 | 20031118 | | | | |
| PRIORITY APPLN. INFO.: | | | US 2000-193087P | P | 20000330 | <-- |
| | | | US 2000-193101P | P | 20000330 | <-- |
| | | | US 2000-217165P | P | 20000710 | <-- |
| | | | US 2001-819366 | A1 | 20010328 | <-- |

OTHER SOURCE(S): MARPAT 136:386129
GI



I

AB The title compds. [I; X = NR4R5 (wherein R4, R5 = alkyl, alkenyl, cycloalkyl, etc.; or NR4R5 = (un)substituted heterocyclyl); Y = alkyl, alkoxyalkyl, aryl, etc.; R3 = (un)substituted (hetero)aryl] which are neuropeptide antagonists, and are effective in treatment of feeding disorders, cardiovascular diseases and other physiol. disorders related to an excess of neuropeptide Y, were prepared Thus, oxidative condensation of 2,4-dihydroxy-5,6-diaminopyrimidine sulfate with benzoic acid followed by subsequent conversion of the dihydroxy compound to 2,6-dichloro-8-phenyl-7H-purine, and nucleophilic displacement of the chloride atom with pyrrolidine afforded I [X = pyrrolidino; Y = Cl; R3 = Ph] which showed Ki of < 1000 nM against NPY-5 receptor binding.

L7 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:51273 CAPLUS
DOCUMENT NUMBER: 136:96099
TITLE: Treatment of male sexual dysfunction
INVENTOR(S): Naylor, Alasdair Mark; Van der Graaf, Pieter Hadewijn; Wayman, Christopher Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|---|---|---|---|---|
| WO 2002003995 | A2 | 20020117 | WO 2001-IB1187 | 20010702 | <-- |
| WO 2002003995 | A3 | 20020418 | | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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| US 20020052370 | A1 | 20020502 | US 2001-893585 | | 20010628 <-- |
| CA 2414112 | A1 | 20020117 | CA 2001-2414112 | | 20010702 <-- |
| AU 2001069353 | A | 20020121 | AU 2001-69353 | | 20010702 <-- |
| EP 1296687 | A2 | 20030402 | EP 2001-947709 | | 20010702 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| HU 2003001660 | A2 | 20030929 | HU 2003-1660 | | 20010702 <-- |
| JP 2004502735 | T | 20040129 | JP 2002-508449 | | 20010702 <-- |
| NZ 522931 | A | 20050324 | NZ 2001-522931 | | 20010702 <-- |
| ZA 2003000121 | A | 20040121 | ZA 2003-121 | | 20030106 <-- |
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| ZA 2003004460 | A | 20040624 | ZA 2003-4460 | | 20030609 <-- |
| US 20060041014 | A1 | 20060223 | US 2005-170397 | | 20050628 <-- |
| PRIORITY APPLN. INFO.: | | | GB 2000-16684 | A | 20000706 <-- |
| | | | GB 2000-30647 | A | 20001215 <-- |
| | | | GB 2001-6167 | A | 20010313 <-- |
| | | | GB 2001-8483 | A | 20010404 <-- |
| | | | US 2000-219100P | P | 20000718 <-- |
| | | | GB 2001-1584 | A | 20010122 <-- |
| | | | US 2001-265358P | P | 20010131 <-- |
| | | | US 2001-274957P | P | 20010312 <-- |
| | | | US 2001-895367 | A3 | 20010629 <-- |
| | | | WO 2001-IB1187 | W | 20010702 <-- |

OTHER SOURCE(S): MARPAT 136:96099

AB The present invention relates to the use of neutral endopeptidase inhibitors (NEPi) and a combination of NEPi and phosphodiesterase type (PDE5) inhibitor for the treatment of male sexual dysfunction, in particular MED.

L7 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:885763 CAPLUS
DOCUMENT NUMBER: 136:15253
TITLE: Melanocortin receptor agonists, and preparation thereof, for therapeutic use
INVENTOR(S): Bakshi, Raman Kumar; Nargund, Ravi P.; Ye, Zhixiong
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001091752 | A1 | 20011206 | WO 2001-US17014 | 20010525 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
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DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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| CA 2410597 | A1 | 20011206 | CA 2001-2410597 | | 20010525 <-- |
| EP 1289526 | A1 | 20030312 | EP 2001-939460 | | 20010525 <-- |
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| JP 2003534377 | T | 20031118 | JP 2001-587767 | | 20010525 <-- |
| AU 2001264977 | B2 | 20050414 | AU 2001-264977 | | 20010525 <-- |
| US 20020004512 | A1 | 20020110 | US 2001-867309 | | 20010529 <-- |
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| PRIORITY APPLN. INFO.: | | | US 2000-207918P | P | 20000530 <-- |
| | | | WO 2001-US17014 | W | 20010525 <-- |

OTHER SOURCE(S): MARPAT 136:15253

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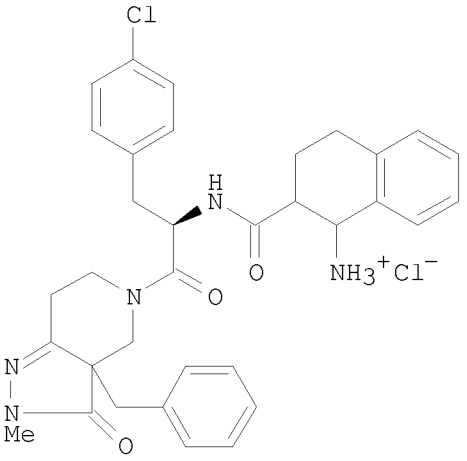

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AB The invention discloses compds. and derivs. thereof which are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, e.g. obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Preparation of e.g. I is described.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:864708 CAPLUS
DOCUMENT NUMBER: 136:693
TITLE: Method using a neurotensin receptor ligand for treating obesity and other disorders
INVENTOR(S): Hadcock, John Richard Neville
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1157695 | A1 | 20011128 | EP 2001-303855 | 20010427 <-- |
| EP 1157695 | B1 | 20060628 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR | | | | |
| US 20010046956 | A1 | 20011129 | US 2001-841276 | 20010424 <-- |
| US 6699832 | B2 | 20040302 | | |
| CA 2345180 | A1 | 20011027 | CA 2001-2345180 | 20010425 <-- |
| ZA 2001003365 | A | 20021025 | ZA 2001-3365 | 20010425 <-- |
| HU 2001001666 | A2 | 20020228 | HU 2001-1666 | 20010426 <-- |
| HU 2001001666 | A3 | 20030328 | | |
| NZ 511354 | A | 20030328 | NZ 2001-511354 | 20010426 <-- |
| JP 2002275092 | A | 20020925 | JP 2001-130680 | 20010427 <-- |
| AT 331518 | T | 20060715 | AT 2001-303855 | 20010427 <-- |
| ES 2264679 | T3 | 20070116 | ES 2001-303855 | 20010427 <-- |

PRIORITY APPLN. INFO.: US 2000-199951P P 20000427 <--

AB Methods are provided for treating obesity, diabetes, sexual dysfunction, atherosclerosis, insulin resistance, impaired glucose tolerance, hypercholesterolemia or hypertriglyceridemia using a neurotensin receptor ligand. The invention also provides pharmaceutical compns. and kits that comprise a neurotensin receptor ligand.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:763235 CAPLUS

DOCUMENT NUMBER: 135:314399

TITLE: Detection of variations in the DNA methylation profile of genes in the determining the risk of disease

INVENTOR(S): Berlin, Kurt; Piepenbrock, Christian; Olek, Alexander

PATENT ASSIGNEE(S): Epigenomics A.-G., Germany

SOURCE: PCT Int. Appl., 636 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 69

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001077373 | A2 | 20011018 | WO 2001-DE1486 | 20010406 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10019058 | A1 | 20011220 | DE 2000-10019058 | 20000406 <-- |
| WO 2001077373 | A2 | 20011018 | WO 2001-XA1486 | 20010406 <-- |
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| WO 2001077373 | A2 | 20011018 | WO 2001-XB1486 | 20010406 <-- |

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, CF, CG, CI, CM, GA, GW, ML, MR, NE, SN, TD, TG

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| AU 2001073840 | A | 20011023 | AU 2001-73840 | 20010406 | <-- |
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| EP 1278892 | A1 | 20030129 | EP 2001-940158 | 20010406 | <-- |
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| EP 1360319 | A2 | 20031112 | EP 2001-955278 | 20010406 | <-- |
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| AT 339520 | T | 20061015 | AT 2002-90203 | 20020605 | |
| ES 2272636 | T3 | 20070501 | ES 2002-90203 | 20020605 | |
| US 20040067491 | A1 | 20040408 | US 2003-240454 | 20030311 | <-- |
| AU 2003204553 | A1 | 20040108 | AU 2003-204553 | 20030605 | |
| AU 2003204553 | B2 | 20071129 | | | |
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| US 20040023279 | A1 | 20040205 | US 2003-455212 | 20030605 | |
| US 20070026393 | A1 | 20070201 | US 2003-240970 | 20030711 | <-- |
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| AU 2006225250 | A1 | 20061026 | AU 2006-225250 | 20061005 | <-- |

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| PRIORITY APPLN. INFO.: | DE 2000-10019058 | A | 20000406 <-- |
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| | DE 2000-10032529 | A | 20000630 <-- |
| | DE 2000-10043826 | A | 20000901 <-- |
| | AU 2001-275663 | A | 20010406 <-- |
| | AU 2001-276331 | A3 | 20010406 <-- |
| | AU 2001-75663 | A | 20010406 <-- |
| | WO 2001-DE1486 | W | 20010406 <-- |
| | WO 2001-EP4016 | W | 20010406 <-- |
| | EP 2002-90203 | A | 20020605 |
| | AU 2006-230475 | A | 20060811 |

AB The invention relates to an oligonucleotide kit as probe for the detection of relevant variations in the DNA methylation of a target group of genes. The invention further relates to the use of the same for determining the gene variant with regard to DNA methylation, a medical device, using an oligonucleotide kit, a method for determining the methylation state of an individual and a method for the establishment of a model for establishing the probability of onset of a disease state in an individual. Such diseases may be: undesired pharmaceutical side-effects; cancerous diseases; CNS dysfunctions, injuries or diseases; aggressive symptoms or relational disturbances; clin., psychol. and social consequences of brain injury; psychotic disorders and personality disorders; dementia and/or associated syndromes; cardiovascular disease, dysfunction and damage; dysfunction, damage or disease of the gastrointestinal tract; dysfunction, damage or disease of the respiratory system; injury, inflammation, infection, immunity and/or anastasis; dysfunction, damage or disease of the body as an abnormal development process; dysfunction, damage or disease of the skin, muscle, connective tissue or bones; endocrine and metabolic dysfunction, damage or disease; headaches or sexual dysfunction. This abstract record is one of several records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

L7 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:636055 CAPLUS

DOCUMENT NUMBER: 135:211050
TITLE: Preparation of imidazoline compounds as antagonists of neuropeptide Y receptor
INVENTOR(S): Sato, Nagaaki; Okamoto, Osamu; Jitsuoka, Makoto; Nagai, Keita; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Fukami, Takehiro
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001062738 | A1 | 20010830 | WO 2001-JP1312 | 20010222 <-- |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2400659 | A1 | 20010830 | CA 2001-2400659 | 20010222 <-- |
| AU 2001034128 | A | 20010903 | AU 2001-34128 | 20010222 <-- |
| EP 1264826 | A1 | 20021211 | EP 2001-906215 | 20010222 <-- |
| EP 1264826 | B1 | 20050330 | | |
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| AU 2001234128 | B2 | 20041111 | AU 2001-234128 | 20010222 <-- |
| AT 292119 | T | 20050415 | AT 2001-906215 | 20010222 <-- |
| ES 2236178 | T3 | 20050716 | ES 2001-906215 | 20010222 <-- |
| US 20030158418 | A1 | 20030821 | US 2002-204267 | 20020925 <-- |
| US 7064142 | B2 | 20060620 | | |
| US 20060135559 | A1 | 20060622 | US 2006-348459 | 20060207 <-- |

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| PRIORITY APPLN. INFO.: | | JP 2000-45042 | A | 20000222 <-- |
| | | WO 2001-JP1312 | W | 20010222 <-- |
| | | US 2002-204267 | A3 | 20020925 |

OTHER SOURCE(S): MARPAT 135:211050
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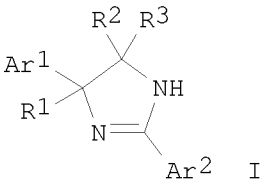

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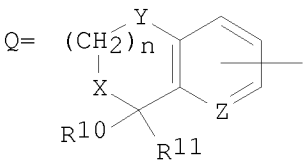


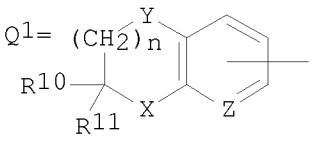


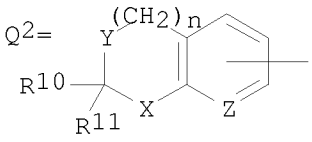

AB Compds. represented by the general formula (I) [wherein Ar1, Ar2, Ar3 = aryl or heteroaryl each optionally having substituents selected from cyano, halo, NO2, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower cycloalkyl-lower alkyl, lower alkenyl, lower alkylamino, di-lower alkylamino, lower alkanoylamino, lower alkylsulfonylamino, arylsulfonylamino, HO, lower alkoxy, halo-lower alkoxy, aryloxy, heteroaryloxy, lower alkylthio, CO2H, CHO, lower alkanoyl, lower alkoxycarbonyl, CONH2, lower alkylcarbamoyl, di-lower alkylcarbamoyl, lower alkylsulfonyl, arylsulfonyl, aryl, and heteroaryl; n = 0,1; R1 = lower cycloalkyl, Ar3, Q, Q1, Q2; R1, R2 = H, lower cycloalkyl, lower alkenyl, lower alkyl optionally having substituents selected from halo, lower alkylamino, di-lower alkylamino, lower alkanoylamino, HO, lower alkoxy, CHO, lower alkoxycarbonyl, lower alkylcarbamoyl, and di-lower alkylcarbamoyl; wherein R10 = R11 = H, or R10 and R11 together represents oxo; X, Y = CH2, CH2CH2, NR12 (wherein R12 = H, lower alkyl), O, S; Z = CH, N; with the proviso that when R2 and R3 are simultaneously hydrogen, Ar1, Ar2 and R1 do not simultaneously represent unsubstituted phenyl] or salts or esters thereof are prepared Theses compds. are useful as therapeutic agents for treating various neuropeptide Y (NPY)-related diseases, for example, circulatory diseases including hypertension, kidney diseases, cardiac diseases, vasospasm, and arteriosclerosis; central nervous system diseases including hyperphagia, depression, anxiety, convulsion, epilepsy, dementia, pain, alc. dependence, and withdrawal symptoms due to abstinence from drugs; metabolic diseases including obesity, diabetes, hormonal disorders, hypercholesterolemia, and hyperlipidemia; sexual dysfunction and reproductive function disorders; digestive diseases including enterokinetic disorders; respiratory diseases; inflammation; or glaucoma. Thus, 46.5 mg 2,4-dicyanopyridine and 24 mg ytterbium trifluoromethanesulfonate were added to a solution of 100 mg (2S)-1-(4-fluorophenyl)-1-(6-fluoro-3-pyridyl)-1,2-propanediamine in 0.25 mL PhMe and stirred at 100° for 5 h to give 106 mg optically active (5S)-2-(4-cyano-2-pyridyl)-4-(4-fluorophenyl)-4-(6-fluoro-3-pyridyl)-5-methyl-2-imidazolidine (II). II in vitro showed IC50 of 1.7 nM for inhibiting the binding of [125I]peptide YY to human NPY receptor. Tablet formulations containing 2-(3-cyanophenyl)-4,4-bis(4-fluorophenyl)-2-imidazolidine were prepared

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:338075 CAPLUS
DOCUMENT NUMBER: 134:336238
TITLE: NEP (neutral endopeptidase) inhibitors for the treatment of female sexual dysfunction
INVENTOR(S): Maw, Graham Nigel; Wayman, Christopher Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: Eur. Pat. Appl., 124 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1097719 | A1 | 20010509 | EP 2000-309722 | 20001103 <-- |
| EP 1097719 | B1 | 20041222 | | |

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IE, SI, LT, LV, FI, RO

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| EP 1481667 | A1 | 20041201 | EP 2004-20972 | | 20001103 | <-- |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI, CY, TR

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| AT 285249 | T | 20050115 | AT 2000-309722 | | 20001103 | <-- |
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| ES 2233297 | T3 | 20050616 | ES 2000-309722 | | 20001103 | <-- |
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| AU 781403 | B2 | 20050519 | AU 2000-71408 | | 20001106 | <-- |
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| EP 2000-309722 | A3 | 20001103 | <-- |
| AU 2000-71408 | A3 | 20001106 | <-- |
| CN 2000-137670 | A3 | 20001107 | <-- |
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| KR 2000-65863 | A3 | 20001107 | <-- |
| KR 2000-65868 | A3 | 20001107 | <-- |
| JP 2000-339853 | A3 | 20001108 | <-- |
| JP 2000-339905 | A3 | 20001108 | <-- |
| JP 2000-339949 | A3 | 20001108 | <-- |
| JP 2000-339957 | A3 | 20001108 | <-- |
| US 2000-708392 | A3 | 20001108 | <-- |

AB A method of treating a female suffering from female sexual dysfunction, in particular female sexual arousal dysfunction, is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia, wherein the agent is in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a pharmaceutically acceptable carrier, diluent or excipient. The agent is an inhibitor of NEP (neutral endopeptidase; EC 3.4.24.11).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:338074 CAPLUS
DOCUMENT NUMBER: 134:336237
TITLE: Neuropeptide Y (NPY) antagonists for the treatment of female sexual dysfunction
INVENTOR(S): Maw, Graham Nigel; Wayman, Christopher Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: Eur. Pat. Appl., 165 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
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| EP 1097718 | A1 | 20010509 | EP 2000-309720 | 20001103 | <-- |
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| AT 285249 | T | 20050115 | AT 2000-309722 | 20001103 | <-- |
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| JP 2005070055 | A | 20050317 | JP 2004-269732 | | 20040916 <-- |
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| | | | JP 2000-339853 | A3 | 20001108 <-- |
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| | | | JP 2000-339957 | A3 | 20001108 <-- |
| | | | US 2000-708392 | A3 | 20001108 <-- |

AB A method of treating a female suffering from female sexual dysfunction, in particular female sexual arousal dysfunction, is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia, wherein the agent is

in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a pharmaceutically acceptable carrier, diluent or excipient. The agent is an antagonist of NPY. Preparation of neutral endopeptidase inhibitors, also use for treating the above disorders, is also described.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:338068 CAPLUS
DOCUMENT NUMBER: 134:348237
TITLE: Treatment of female sexual arousal dysfunction
INVENTOR(S): Maw, Graham Nigel; Wayman, Christopher Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: Eur. Pat. Appl., 135 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| EP 1097707 | A1 | 20010509 | EP 2000-309719 | 20001103 <-- |
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| | | | JP 2000-339949 | A3 | 20001108 <-- |
| | | | JP 2000-339957 | A3 | 20001108 <-- |
| | | | US 2000-708392 | A3 | 20001108 <-- |

AB A method of treating a female suffering from female sexual dysfunction (FSD), in particular female sexual arousal dysfunction (FSAD), is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia; wherein the agent is in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a pharmaceutically acceptable carrier, diluent or excipient.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:594869 CAPLUS

DOCUMENT NUMBER: 137:164897

TITLE: B-superfamily conotoxins and cDNAs and their use in pharmaceuticals and in drug screening

INVENTOR(S): Jones, Robert M.; Olivera, Baldomero M.; Watkins, Maren; Garrett, James E.

PATENT ASSIGNEE(S): Cognetix, Inc., USA; University of Utah Research Foundation

SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002060923 | A2 | 20020808 | WO 2002-US2523 | 20020129 <-- |
| WO 2002060923 | A3 | 20040311 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| AU 2002245340 | A1 | 20020812 | AU 2002-245340 | | 20020129 <-- |
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| | WO 2002-US2523 | W | 20020129 |
| | US 2004-838226 | B1 | 20040505 |

AB The present invention is directed to B-superfamily conotoxin peptides, derivs. or pharmaceutically acceptable salts thereof. The present invention is further directed to the use of this peptide, derivs. thereof and pharmaceutically acceptable salts thereof for the treatment of disorders associated with voltage-gated ion channels, ligand-gated channels, and other receptors. The invention is further directed to the nucleic acid sequences encoding the B-superfamily conotoxin peptides and encoding B-superfamily conotoxin propeptides, as well as the B-superfamily conotoxin propeptides. Thus, the DNA encoding 75 novel preprotoxins of various Conus species and the encoded conotoxins are disclosed. Truncated forms of these conotoxins inhibited growth of human breast and pancreatic adenocarcinoma cells in culture. The binding of these truncated conotoxins to somatostation and melanocortin receptors was analyzed.

L7 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:575075 CAPLUS
DOCUMENT NUMBER: 137:140779
TITLE: Preparation of piperazine- and piperidine-derivatives as melanocortin receptor agonists
INVENTOR(S): Briner, Karin; Doecke, Christopher William; Mancoso, Vincent; Martinelli, Michael John; Richardson, Timothy Ivo; Rothhaar, Roger Ryan; Shi, Qing; Xie, Chaoyu
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002059117 | A1 | 20020801 | WO 2002-US515 | 20020123 <-- |

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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| EP 1370558 | B1 | 20050824 | | | |
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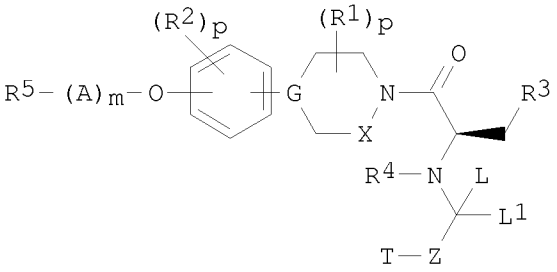
| JP 2004523530 | T | 20040805 | JP 2002-559419 | | 20020123 <-- |
| AT 302773 | T | 20050915 | AT 2002-701922 | | 20020123 <-- |
| ES 2246390 | T3 | 20060216 | ES 2002-714719 | | 20020123 <-- |
| ES 2247298 | T3 | 20060301 | ES 2002-701922 | | 20020123 <-- |
| US 20040082590 | A1 | 20040429 | US 2003-466248 | | 20030711 <-- |
| US 7186715 | B2 | 20070306 | | | |
| IN 2003KN00948 | A | 20050311 | IN 2003-KN948 | | 20030723 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-263471P | P | 20010123 <-- |
| | | | WO 2001-US515 | W | 20020123 |
| | | | WO 2002-US515 | W | 20020123 |

OTHER SOURCE(S): MARPAT 137:140779

GI



I

AB The compds. of formula I [G = CR1, or N; A = alkyl, or cycloalkyl; L and L1 = H, or (together) oxo ; T = substituted indolyl, or pyrazinyl; X = CH2, or CH2CH2; Z = (CH2)n; R1 = H, alkyl, Ph, alkylaryl, alkylcarboxamide, cycloalkyl, or oxo; R2 = H, halo, alkyl, alkylsulfonyl, cycloalkyl, alkylaryl, or haloalkyl; R3 = (un)substituted aryl, or thienyl; R4 = H, alkyl, cycloalkyl, etc.; R5 = NH2, NPh2, alkylamide, alkylsulfonylamide, NHCOH, NHCONH2, NHSO2NH2, (un)substituted heterocyclyl, etc.; n = 0-8, m = 0-1, and p = 0-4], pharmaceutically acceptable salts, or stereoisomers were prepared as melanocortin receptor agonists for treatment of obesity, diabetes and male and/or female sexual dysfunction. Thus, coupling of 2-[(2-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinolin-3-ylmethyl)amino]-3-(4-chlorophenyl)propionate with 3-(2-piperazin-1-yltrifluoromethylphenoxy)-S-pyrrolidine-1-carboxylic acid tert-Bu ester, followed by deprotection and addition of HCl, gave 3-D-(4-chlorophenyl)-1-[4-[5-trifluoromethyl-2-S-(pyrrolidin-3-yloxy)phenyl]piperazin-1-yl]-2-D-[(1,2,3,4-tetrahydroisoquinoline-3-ylmethyl)amino]propan-1-one hydrochloride in 84% yield.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:540258 CAPLUS
DOCUMENT NUMBER: 137:109267
TITLE: Preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors
INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S. Ser. No. 875,155.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 20020094977 | A1 | 20020718 | US 2001-7407 | | 20011204 <-- |
| US 6627636 | B2 | 20030930 | | | |
| US 20020013334 | A1 | 20020131 | US 2001-875155 | | 20010606 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-211595P | P | 20000615 <-- |
| | | | US 2001-875155 | A2 | 20010606 <-- |

OTHER SOURCE(S): MARPAT 137:109267
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = O, S, SO, SO2, NR7; Z = HOCHCH2CH(OH)CH2CO2R3, 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H, alkyl, metal ion; R4 = H, halo, CF3, etc.; R7 = H, alkyl, aryl, alkanoyl, aroyl, alkoxycarbonyl, etc.; R9, R10 = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDl cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, and atherosclerosis (no data). A multistep synthesis of II is reported.

L7 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:539674 CAPLUS
DOCUMENT NUMBER: 137:109273
TITLE: Novel substituted benzimidazol-2-ones as vasopressin receptor antagonists and neuropeptide y modulators
INVENTOR(S): Urbanski, Maud J.; Gunnet, Joseph W., Jr.; Demarest, Keith T.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002055514 | A2 | 20020718 | WO 2001-US51108 | 20011023 <-- |
| WO 2002055514 | A3 | 20021121 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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|---|---|---|---|---|
| AU 2002243419 | A1 | 20020724 | AU 2002-243419 | 20011023 <-- |
| US 20030073842 | A1 | 20030417 | US 2001-47841 | 20011023 <-- |
| US 6653478 | B2 | 20031125 | | |
| EP 1330451 | A2 | 20030730 | EP 2001-989314 | 20011023 <-- |
| EP 1330451 | B1 | 20080123 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

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|---|---|---|---|---|
| JP 2004517872 | T | 20040617 | JP 2002-556183 | 20011023 <-- |
| AT 384711 | T | 20080215 | AT 2001-989314 | 20011023 <-- |
| ES 2300376 | T3 | 20080616 | ES 2001-989314 | 20011023 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-243817P P | 20001027 <-- |
| | | | WO 2001-US51108 W | 20011023 <-- |

OTHER SOURCE(S): MARPAT 137:109273
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = aryl or heteroaryl having 0-4 heteroatoms selected from N, O and S; X = S, O, NH, and NCN; Y = S or O; R1 = 1-3 groups selected from H, halo, NO2, (un)substituted alkyl, alkoxy, etc.; R2 = H, (un)substituted alkyl; R3 = H, benzhydryl, (un)substituted alkyl, aryl, heteroaryl, etc.; R4 and R5 independently = H, (un)substituted alkyl, etc., or nonexistent when n = 0; n = 0-1; m = 0-1, with proviso that when m = 0, X = O, and R3 = (un)substituted heteroaryl, CO2Ra, and CONRaRb wherein Ra and Rb independently = (un)substituted alkyl, aryl, heteroaryl, heterocyclyl, or NRaRb ma be taken together to form a group selected from (un)substituted heteroaryl or heterocyclyl, then n = 0] and their pharmaceutically acceptable salts are prepared and disclosed as vasopressin receptor antagonists or neuropeptide Y modulators.
Thus, II was prepared in 87% yield by addition of benzhydryl isothiocyanate to 4-(2-keto-1-benzimidazolinyl)piperidine. I were evaluated for their affinity with NPY-2 receptor, vasopressin-1a (V1a), -1b (V1b) and -2 (V2) receptors. For example, II ws responsible for 52% inhibition of NPY-2 at 10μM, 38% inhibition of V2 at 1μM, 0% inhibition of V1b at concns. up to 10μM, and possessed an IC50 value of 0.59 μM for V1a. As vasopressin antagonists and neuropeptide Y modulators, I are useful for treating conditions such as aggression, obsessive-compulsive disorders, hypertension, dysmenorrhea, congestive heart failure/cardiac insufficiency, coronary vasospasm, cardiac ischemia, liver cirrhosis, renal vasospasm, renal failure, edema, ischemia, stroke, thrombosis, water retention, nephrotic syndrome, central nervous injuries, obesity, anorexia, hyperglycemia, diabetes, anxiety, depression, asthma, memory loss, sexual dysfunction, disorders of sleep and other circadian rhythms, and Cushing's disease.

L7 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:465801 CAPLUS
DOCUMENT NUMBER: 137:52344
TITLE: Treatment of male sexual dysfunction
INVENTOR(S): Naylor, Alasdair Mark; Van der Graaf, Pieter Hadewijn; Wayman, Christopher Peter

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002047670 | A1 | 20020620 | WO 2001-IB2399 | 20011210 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20020028799 | A1 | 20020307 | US 2001-895367 | 20010629 <-- |
| US 20020102707 | A1 | 20020801 | US 2001-905846 | 20010713 <-- |
| US 6878529 | B2 | 20050412 | | |
| CA 2431747 | A1 | 20020620 | CA 2001-2431747 | 20011210 <-- |
| AU 2002020977 | A | 20020624 | AU 2002-20977 | 20011210 <-- |
| EP 1347750 | A1 | 20031001 | EP 2001-270206 | 20011210 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CN 1496254 | A | 20040512 | CN 2001-820556 | 20011210 <-- |
| HU 2004000528 | A2 | 20040628 | HU 2004-528 | 20011210 <-- |
| JP 2004522720 | T | 20040729 | JP 2002-549244 | 20011210 <-- |
| NZ 526925 | A | 20050324 | NZ 2001-526925 | 20011210 <-- |
| ZA 2003004460 | A | 20040624 | ZA 2003-4460 | 20030609 <-- |
| US 20060041014 | A1 | 20060223 | US 2005-170397 | 20050628 <-- |

| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
| GB 2000-30647 | A | 20001215 <-- |
| GB 2001-8730 | A | 20010406 <-- |
| GB 2001-9910 | A | 20010423 <-- |
| GB 2001-11037 | A | 20010504 <-- |
| US 2001-895367 | A | 20010629 <-- |
| US 2001-905846 | A | 20010713 <-- |
| GB 2001-20679 | A | 20010824 <-- |
| GB 2000-16684 | A | 20000706 <-- |
| GB 2000-17387 | A | 20000714 <-- |
| US 2000-219100P | P | 20000718 <-- |
| US 2000-220908P | P | 20000726 <-- |
| US 2001-265358P | P | 20010131 <-- |
| GB 2001-6167 | A | 20010313 <-- |
| GB 2001-8483 | A | 20010404 <-- |
| WO 2001-IB2399 | W | 20011210 <-- |

AB The use of an inhibitor of a neuropeptide Y (NPY), preferably of a NPY Y1 receptor, which inhibitor is selective for an NPY or NPY Y1 receptor associated with male genitalia, in the preparation/manufacture of a medicament for the treatment or prevention of male erectile dysfunction (MED).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:391522 CAPLUS
DOCUMENT NUMBER: 136:395983
TITLE: Bombesin receptor antagonists, and combinations with

other agents, for the treatment of sexual dysfunction

INVENTOR(S): Gonzalez, Maria Isabel; Stock, Herman Thijs; Pinnock, Robert Denham; Pritchard, Martyn Clive; Wayman, Christopher Peter; Van der Graaf, Pieter Hadewijn; Naylor, Alisdair Mark; Higginbottom, Michael

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2002040008 | A2 | 20020523 | WO 2001-GB5018 | | 20011114 <-- |
| WO 2002040008 | A3 | 20020822 | | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| WO 2002040022 | A1 | 20020523 | WO 2000-GB4380 | | 20001117 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | |
| CA 2429106 | A1 | 20020523 | CA 2001-2429106 | | 20011114 <-- |
| AU 2002023802 | A | 20020527 | AU 2002-23802 | | 20011114 <-- |
| EP 1333824 | A2 | 20030813 | EP 2001-994552 | | 20011114 <-- |
| EP 1333824 | B1 | 20050907 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| BR 2001015364 | A | 20030923 | BR 2001-15364 | | 20011114 <-- |
| HU 2003001892 | A2 | 20031128 | HU 2003-1892 | | 20011114 <-- |
| HU 2003001892 | A3 | 20050628 | | | |
| JP 2004522710 | T | 20040729 | JP 2002-542382 | | 20011114 <-- |
| NZ 525415 | A | 20041126 | NZ 2001-525415 | | 20011114 <-- |
| AT 303804 | T | 20050915 | AT 2001-994552 | | 20011114 <-- |
| MX 2003PA03482 | A | 20040910 | MX 2003-PA3482 | | 20030416 <-- |
| US 20040087561 | A1 | 20040506 | US 2003-416934 | | 20031204 <-- |
| PRIORITY APPLN. INFO.: | | | WO 2000-GB4380 | W | 20001117 <-- |
| | | | GB 2001-9910 | A | 20010423 <-- |
| | | | GB 2001-11037 | A | 20010504 <-- |
| | | | WO 2001-GB5018 | W | 20011114 <-- |

OTHER SOURCE(S): MARPAT 136:395983

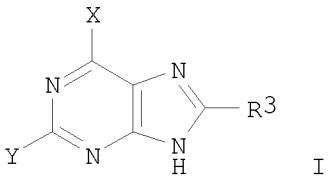
AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example phosphodiesterase V inhibitors, neutral endopeptidase inhibitors, and lasofoxifene. Preparation of

compds. of the invention is described.

L7 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:368993 CAPLUS
DOCUMENT NUMBER: 136:386129
TITLE: Preparation of 2,6-substituted-8-phenyl-7H-purines as neuropeptide Y antagonists
INVENTOR(S): Elliott, Richard L.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 20020058671 | A1 | 20020516 | US 2001-819368 | | 20010328 <-- |
| US 6511984 | B2 | 20030128 | | | |
| US 20020061897 | A1 | 20020523 | US 2001-819366 | | 20010328 <-- |
| US 20030100546 | A1 | 20030529 | US 2002-225663 | | 20020821 <-- |
| US 6649759 | B2 | 20031118 | | | |
| PRIORITY APPLN. INFO.: | | | US 2000-193087P | P | 20000330 <-- |
| | | | US 2000-193101P | P | 20000330 <-- |
| | | | US 2000-217165P | P | 20000710 <-- |
| | | | US 2001-819366 | A1 | 20010328 <-- |

OTHER SOURCE(S): MARPAT 136:386129
GI



I

AB The title compds. [I; X = NR4R5 (wherein R4, R5 = alkyl, alkenyl, cycloalkyl, etc.; or NR4R5 = (un)substituted heterocyclyl); Y = alkyl, alkoxyalkyl, aryl, etc.; R3 = (un)substituted (hetero)aryl] which are neuropeptide antagonists, and are effective in treatment of feeding disorders, cardiovascular diseases and other physiol. disorders related to an excess of neuropeptide Y, were prepared Thus, oxidative condensation of 2,4-dihydroxy-5,6-diaminopyrimidine sulfate with benzoic acid followed by subsequent conversion of the dihydroxy compound to 2,6-dichloro-8-phenyl-7H-purine, and nucleophilic displacement of the chloride atom with pyrrolidine afforded I [X = pyrrolidino; Y = Cl; R3 = Ph] which showed Ki of < 1000 nM against NPY-5 receptor binding.

L7 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:51273 CAPLUS
DOCUMENT NUMBER: 136:96099
TITLE: Treatment of male sexual dysfunction
INVENTOR(S): Naylor, Alasdair Mark; Van der Graaf, Pieter Hadewijn; Wayman, Christopher Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002003995 | A2 | 20020117 | WO 2001-IB1187 | 20010702 <-- |
| WO 2002003995 | A3 | 20020418 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20020052370 | A1 | 20020502 | US 2001-893585 | 20010628 <-- |
| CA 2414112 | A1 | 20020117 | CA 2001-2414112 | 20010702 <-- |
| AU 2001069353 | A | 20020121 | AU 2001-69353 | 20010702 <-- |
| EP 1296687 | A2 | 20030402 | EP 2001-947709 | 20010702 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| HU 2003001660 | A2 | 20030929 | HU 2003-1660 | 20010702 <-- |
| JP 2004502735 | T | 20040129 | JP 2002-508449 | 20010702 <-- |
| NZ 522931 | A | 20050324 | NZ 2001-522931 | 20010702 <-- |
| ZA 2003000121 | A | 20040121 | ZA 2003-121 | 20030106 <-- |
| ZA 2003000120 | A | 20040126 | ZA 2003-120 | 20030106 <-- |
| ZA 2003004460 | A | 20040624 | ZA 2003-4460 | 20030609 <-- |
| US 20060041014 | A1 | 20060223 | US 2005-170397 | 20050628 <-- |

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| PRIORITY APPLN. INFO.: | | GB 2000-16684 | A | 20000706 <-- |
| | | GB 2000-30647 | A | 20001215 <-- |
| | | GB 2001-6167 | A | 20010313 <-- |
| | | GB 2001-8483 | A | 20010404 <-- |
| | | US 2000-219100P | P | 20000718 <-- |
| | | GB 2001-1584 | A | 20010122 <-- |
| | | US 2001-265358P | P | 20010131 <-- |
| | | US 2001-274957P | P | 20010312 <-- |
| | | US 2001-895367 | A3 | 20010629 <-- |
| | | WO 2001-IB1187 | W | 20010702 <-- |

OTHER SOURCE(S): MARPAT 136:96099

AB The present invention relates to the use of neutral endopeptidase inhibitors (NEPi) and a combination of NEPi and phosphodiesterase type (PDE5) inhibitor for the treatment of male sexual dysfunction, in particular MED.

L7 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:885763 CAPLUS
DOCUMENT NUMBER: 136:15253
TITLE: Melanocortin receptor agonists, and preparation thereof, for therapeutic use
INVENTOR(S): Bakshi, Raman Kumar; Nargund, Ravi P.; Ye, Zhixiong
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001091752 | A1 | 20011206 | WO 2001-US17014 | 20010525 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2410597 | A1 | 20011206 | CA 2001-2410597 | 20010525 <-- |
| EP 1289526 | A1 | 20030312 | EP 2001-939460 | 20010525 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003534377 | T | 20031118 | JP 2001-587767 | 20010525 <-- |
| AU 2001264977 | B2 | 20050414 | AU 2001-264977 | 20010525 <-- |
| US 20020004512 | A1 | 20020110 | US 2001-867309 | 20010529 <-- |
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| PRIORITY APPLN. INFO.: | | | US 2000-207918P P | 20000530 <-- |
| | | | WO 2001-US17014 W | 20010525 <-- |

OTHER SOURCE(S): MARPAT 136:15253

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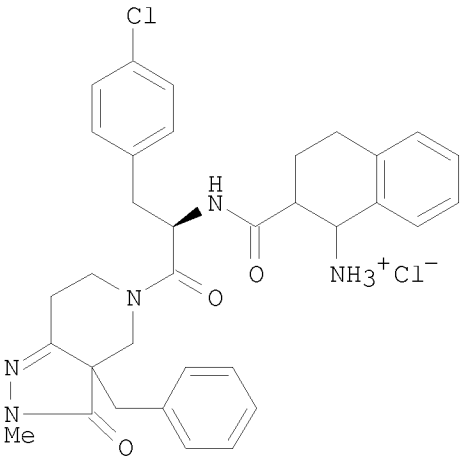

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AB The invention discloses compds. and derivs. thereof which are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, e.g. obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Preparation of e.g. I is described.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:864708 CAPLUS

DOCUMENT NUMBER: 136:693

TITLE: Method using a neurotensin receptor ligand for

treating obesity and other disorders
INVENTOR(S): Hadcock, John Richard Neville
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1157695 | A1 | 20011128 | EP 2001-303855 | 20010427 <-- |
| EP 1157695 | B1 | 20060628 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR | | | | |
| US 20010046956 | A1 | 20011129 | US 2001-841276 | 20010424 <-- |
| US 6699832 | B2 | 20040302 | | |
| CA 2345180 | A1 | 20011027 | CA 2001-2345180 | 20010425 <-- |
| ZA 2001003365 | A | 20021025 | ZA 2001-3365 | 20010425 <-- |
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| NZ 511354 | A | 20030328 | NZ 2001-511354 | 20010426 <-- |
| JP 2002275092 | A | 20020925 | JP 2001-130680 | 20010427 <-- |
| AT 331518 | T | 20060715 | AT 2001-303855 | 20010427 <-- |
| ES 2264679 | T3 | 20070116 | ES 2001-303855 | 20010427 <-- |
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AB Methods are provided for treating obesity, diabetes, sexual dysfunction, atherosclerosis, insulin resistance, impaired glucose tolerance, hypercholesterolemia or hypertriglyceridemia using a neurotensin receptor ligand. The invention also provides pharmaceutical compns. and kits that comprise a neurotensin receptor ligand.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:763235 CAPLUS
DOCUMENT NUMBER: 135:314399
TITLE: Detection of variations in the DNA methylation profile of genes in the determining the risk of disease
INVENTOR(S): Berlin, Kurt; Piepenbrock, Christian; Olek, Alexander
PATENT ASSIGNEE(S): Epigenomics A.-G., Germany
SOURCE: PCT Int. Appl., 636 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 69
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2001077373 | A2 | 20011018 | WO 2001-DE1486 | 20010406 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
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WO 2001-EP4016 W 20010406 <--
EP 2002-90203 A 20020605
AU 2006-230475 A 20060811

AB The invention relates to an oligonucleotide kit as probe for the detection of relevant variations in the DNA methylation of a target group of genes. The invention further relates to the use of the same for determining the gene variant with regard to DNA methylation, a medical device, using an oligonucleotide kit, a method for determining the methylation state of an individual and a method for the establishment of a model for establishing the probability of onset of a disease state in an individual. Such diseases may be: undesired pharmaceutical side-effects; cancerous diseases; CNS dysfunctions, injuries or diseases; aggressive symptoms or relational disturbances; clin., psychol. and social consequences of brain injury; psychotic disorders and personality disorders; dementia and/or associated syndromes; cardiovascular disease, dysfunction and damage; dysfunction, damage or disease of the gastrointestinal tract; dysfunction, damage or disease of the respiratory system; injury, inflammation,

infection, immunity and/or anastasis; dysfunction, damage or disease of the body as an abnormal development process; dysfunction, damage or disease of the skin, muscle, connective tissue or bones; endocrine and metabolic dysfunction, damage or disease; headaches or sexual dysfunction. This abstract record is one of several records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

L7 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:636055 CAPLUS
DOCUMENT NUMBER: 135:211050
TITLE: Preparation of imidazoline compounds as antagonists of neuropeptide Y receptor
INVENTOR(S): Sato, Nagaaki; Okamoto, Osamu; Jitsuoka, Makoto; Nagai, Keita; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Fukami, Takehiro
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001062738 | A1 | 20010830 | WO 2001-JP1312 | 20010222 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2400659 | A1 | 20010830 | CA 2001-2400659 | 20010222 <-- |
| AU 2001034128 | A | 20010903 | AU 2001-34128 | 20010222 <-- |
| EP 1264826 | A1 | 20021211 | EP 2001-906215 | 20010222 <-- |
| EP 1264826 | B1 | 20050330 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| AU 2001234128 | B2 | 20041111 | AU 2001-234128 | 20010222 <-- |
| AT 292119 | T | 20050415 | AT 2001-906215 | 20010222 <-- |
| ES 2236178 | T3 | 20050716 | ES 2001-906215 | 20010222 <-- |
| US 20030158418 | A1 | 20030821 | US 2002-204267 | 20020925 <-- |
| US 7064142 | B2 | 20060620 | | |
| US 20060135559 | A1 | 20060622 | US 2006-348459 | 20060207 <-- |

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| PRIORITY APPLN. INFO.: | JP 2000-45042 | A | 20000222 <-- |
| | WO 2001-JP1312 | W | 20010222 <-- |
| | US 2002-204267 | A3 | 20020925 |

OTHER SOURCE(S): MARPAT 135:211050
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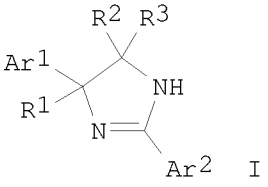

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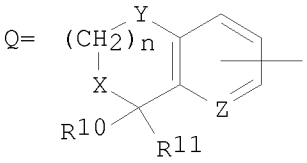

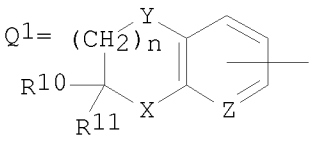

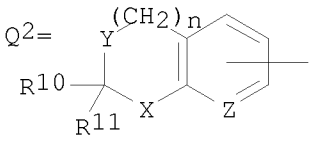

AB Compds. represented by the general formula (I) [wherein Ar1, Ar2, Ar3 = aryl or heteroaryl each optionally having substituents selected from cyano, halo, NO2, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower cycloalkyl-lower alkyl, lower alkenyl, lower alkylamino, di-lower alkylamino, lower alkanoylamino, lower alkylsulfonylamino, arylsulfonylamino, HO, lower alkoxy, halo-lower alkoxy, aryloxy, heteroaryloxy, lower alkylthio, CO2H, CHO, lower alkanoyl, lower alkoxycarbonyl, CONH2, lower alkylcarbamoyl, di-lower alkylcarbamoyl, lower alkylsulfonyl, arylsulfonyl, aryl, and heteroaryl; n = 0,1; R1 = lower cycloalkyl, Ar3, Q, Q1, Q2; R1, R2 = H, lower cycloalkyl, lower alkenyl, lower alkyl optionally having substituents selected from halo, lower alkylamino, di-lower alkylamino, lower alkanoylamino, HO, lower alkoxy, CHO, lower alkoxycarbonyl, lower alkylcarbamoyl, and di-lower alkylcarbamoyl; wherein R10 = R11 = H, or R10 and R11 together represents oxo; X, Y = CH2, CH2CH2, NR12 (wherein R12 = H, lower alkyl), O, S; Z = CH, N; with the proviso that when R2 and R3 are simultaneously hydrogen, Ar1, Ar2 and R1 do not simultaneously represent unsubstituted phenyl] or salts or esters thereof are prepared Theses compds. are useful as therapeutic agents for treating various neuropeptide Y (NPY)-related diseases, for example, circulatory diseases including hypertension, kidney diseases, cardiac diseases, vasospasm, and arteriosclerosis; central nervous system diseases including hyperphagia, depression, anxiety, convulsion, epilepsy, dementia, pain, alc. dependence, and withdrawal symptoms due to abstinence from drugs; metabolic diseases including obesity, diabetes, hormonal disorders, hypercholesterolemia, and hyperlipidemia; sexual dysfunction and reproductive function disorders; digestive diseases including enterokinetic disorders; respiratory diseases; inflammation; or glaucoma. Thus, 46.5 mg 2,4-dicyanopyridine and 24 mg ytterbium trifluoromethanesulfonate were added to a solution of 100 mg (2S)-1-(4-fluorophenyl)-1-(6-fluoro-3-pyridyl)-1,2-propanediamine in 0.25 mL PhMe and stirred at 100° for 5 h to give 106 mg optically active (5S)-2-(4-cyano-2-pyridyl)-4-(4-fluorophenyl)-4-(6-fluoro-3-pyridyl)-5-methyl-2-imidazolidine (II). II in vitro showed IC50 of 1.7 nM for inhibiting the binding of [125I]peptide YY to human NPY receptor. Tablet formulations containing 2-(3-cyanophenyl)-4,4-bis(4-fluorophenyl)-2-imidazolidine were prepared

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:338075 CAPLUS
DOCUMENT NUMBER: 134:336238
TITLE: NEP (neutral endopeptidase) inhibitors for the

treatment of female sexual dysfunction

INVENTOR(S): Maw, Graham Nigel; Wayman, Christopher Peter

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: Eur. Pat. Appl., 124 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1097719 | A1 | 20010509 | EP 2000-309722 | 20001103 <-- |
| EP 1097719 | B1 | 20041222 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
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| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI, CY, TR | | | | |
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| | | | JP 2000-339957 | A3 | 20001108 | <-- |
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AB A method of treating a female suffering from female sexual dysfunction, in particular female sexual arousal dysfunction, is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia, wherein the agent is in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a pharmaceutically acceptable carrier, diluent or excipient. The agent is an inhibitor of NEP (neutral endopeptidase; EC 3.4.24.11).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:338074 CAPLUS
DOCUMENT NUMBER: 134:336237
TITLE: Neuropeptide Y (NPY) antagonists for the treatment of female sexual dysfunction
INVENTOR(S): Maw, Graham Nigel; Wayman, Christopher Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: Eur. Pat. Appl., 165 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

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| EP 1097718 | A1 | 20010509 | EP 2000-309720 | 20001103 | <-- |
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IE, SI, LT, LV, FI, RO

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| PT | 1097719 | T | 20050429 | PT 2000-309722 | 20001103 <-- |
| ES | 2233297 | T3 | 20050616 | ES 2000-309722 | 20001103 <-- |
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| AU | 781403 | B2 | 20050519 | AU 2000-71408 | 20001106 <-- |
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| NO | 2000005662 | A | 20010509 | NO 2000-5662 | 20001107 <-- |
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| CN | 1575816 | A | 20050209 | CN 2004-10071390 | 20001107 <-- |
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| JP | 2001206855 | A | 20010731 | JP 2000-339905 | 20001108 <-- |
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| US | 6734186 | B1 | 20040511 | US 2000-708392 | 20001108 <-- |
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| | | | | GB 2000-16563 A | 20000705 <-- |
| | | | | GB 2000-17141 A | 20000712 <-- |
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| KR 2000-65863 | A3 | 20001107 | <-- |
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| JP 2000-339957 | A3 | 20001108 | <-- |
| US 2000-708392 | A3 | 20001108 | <-- |

AB A method of treating a female suffering from female sexual dysfunction, in particular female sexual arousal dysfunction, is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia, wherein the agent is in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a pharmaceutically acceptable carrier, diluent or excipient. The agent is an antagonist of NPY. Preparation of neutral endopeptidase inhibitors, also use for treating the above disorders, is also described.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> s arousal disorder
L8         910 AROUSAL DISORDER

=> s neuropeptide Y
L9       46771 NEUROPEPTIDE Y

=> s NPY
L10      29315 NPY

=> s L8 and L9
L11          6 L8 AND L9

=> dup rem L11
PROCESSING COMPLETED FOR L11
L12           6 DUP REM L11 (0 DUPLICATES REMOVED)

=> d 1-6 L12 ibib abs

L12  ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2005:121066  CAPLUS
DOCUMENT NUMBER:         142:212370
TITLE:                   PDE10a inhibitors for treating diabetes and related
                         disorders
INVENTOR(S):             Sweet, Laurel
PATENT ASSIGNEE(S):      Bayer Pharmaceuticals Corporation, USA
SOURCE:                  PCT Int. Appl., 28 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2005012485        A2    20050210    WO 2004-US24073        20040727
     WO 2005012485        A3    20050414
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| CA 2534432 | A1 | 20050210 | CA 2004-2534432 | | 20040727 |
| EP 1651251 | A2 | 20060503 | EP 2004-779234 | | 20040727 |
| R: DE, ES, FR, GB, IT | | | | | |
| JP 2007508241 | T | 20070405 | JP 2006-521981 | | 20040727 |
| US 20070032404 | A1 | 20070208 | US 2006-564680 | | 20060113 |
| PRIORITY APPLN. INFO.: | | | US 2003-491730P | P | 20030731 |
| | | | WO 2004-US24073 | W | 20040727 |

AB The methods of the invention relate to the treatment of diabetes, including type 2 diabetes, and related disorders by administration of a PDE10A inhibitor. Such PDE10A inhibitors may be administered in conjunction with alpha-glucosidase inhibitors, insulin sensitizers, insulin secretagogues, hepatic glucose output lowering compds., β-3 agonist, or insulin. Such PDE10A inhibitors may also be administered in conjunction with body weight reducing agents. Further methods of the invention relate to stimulating insulin release from pancreatic cells, for example, in response to an elevation in blood glucose concentration, by administration of a PDE10A inhibitor.

L12 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1035011 CAPLUS
DOCUMENT NUMBER: 142:33016
TITLE: Neutral endopeptidase inhibitors for the treatment of female sexual dysfunction
INVENTOR(S): Maw, Graham Nigel; Wayman, Christopher Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: Eur. Pat. Appl., 134 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

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|---|---|---|---|---|
| EP 1481667 | A1 | 20041201 | EP 2004-20972 | 20001103 |
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| EP 1097719 | A1 | 20010509 | EP 2000-309722 | 20001103 |
| EP 1097719 | B1 | 20041222 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| ES 2233297 | T3 | 20050616 | ES 2000-309722 | 20001103 |
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| CN 1575816 | A | 20050209 | CN 2004-10071390 | 20001107 |
| US 6734186 | B1 | 20040511 | US 2000-708392 | 20001108 |
| US 20040254153 | A1 | 20041216 | US 2003-686390 | 20031015 |
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| US 20050070499 | A1 | 20050331 | US 2003-686349 | 20031015 |
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| KR 2004074023 | A | 20040821 | KR 2004-50973 | | 20040701 |
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| JP 2005043377 | A | 20050217 | JP 2004-269807 | | 20040916 |
| JP 2005070055 | A | 20050317 | JP 2004-269732 | | 20040916 |
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| JP 2005350482 | A | 20051222 | JP 2005-233224 | | 20050811 |
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| | | | US 2000-192962P | P | 20000329 |
| | | | US 2000-217479P | P | 20000711 |
| | | | US 2000-221014P | P | 20000727 |
| | | | US 2000-221093P | P | 20000727 |
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| | | | JP 2000-339905 | A3 | 20001108 |
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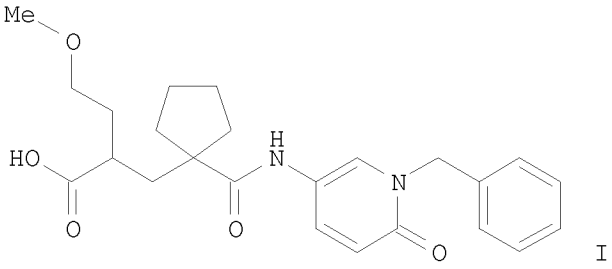


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AB A method of treating a female suffering from female sexual dysfunction, in particular female sexual arousal disorder, is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia, wherein the agent is in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a pharmaceutically acceptable carrier, diluent or excipient. The agent is an inhibitor of neutral endopeptidase. Preparation of selected compds., e.g. I, is included.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:5937 CAPLUS

DOCUMENT NUMBER: 138:73273

TITLE: Preparation of [1,2']bipyrazinyl 5-HT2 receptor ligands for treatment of sexual dysfunction

INVENTOR(S): Chiang, Yuan-Ching Phoebe; Dasilva-Jardine, Paul

Andrew; Garigipati, Ravi S.; Guzman-Perez, Angel; Novomisle, William Albert; Welch, Willard Mckowan

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
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| WO 2003000666 | A1 | 20030103 | WO 2002-IB2293 | | 20020617 |
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| US 20030105106 | A1 | 20030605 | US 2002-156884 | | 20020528 |
| US 6825198 | B2 | 20041130 | | | |
| US 20030125334 | A1 | 20030703 | US 2002-163881 | | 20020605 |
| US 6894050 | B2 | 20050517 | | | |
| CA 2455292 | A1 | 20030103 | CA 2002-2455292 | | 20020617 |
| AU 2002309183 | A1 | 20030108 | AU 2002-309183 | | 20020617 |
| NZ 529542 | A | 20031219 | NZ 2002-529542 | | 20020617 |
| NZ 529543 | A | 20031219 | NZ 2002-529543 | | 20020617 |
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| BR 2002010471 | A | 20040810 | BR 2002-10471 | | 20020617 |
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| JP 2005501821 | T | 20050120 | JP 2003-507071 | | 20020617 |
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| ZA 2003008842 | A | 20041123 | ZA 2003-8842 | | 20031113 |
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| IN 2003MN01057 | A | 20051021 | IN 2003-MN1057 | | 20031118 |
| MX 2003PA11941 | A | 20040326 | MX 2003-PA11941 | | 20031218 |
| BG 108491 | A | 20050131 | BG 2003-108491 | | 20031222 |
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| PRIORITY APPLN. INFO.: | | | US 2001-299953P | P | 20010621 |
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| | | | WO 2002-IB2293 | W | 20020617 |

OTHER SOURCE(S): MARPAT 138:73273

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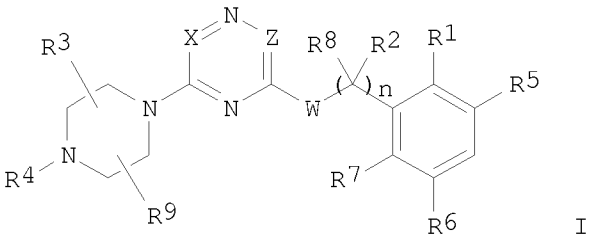

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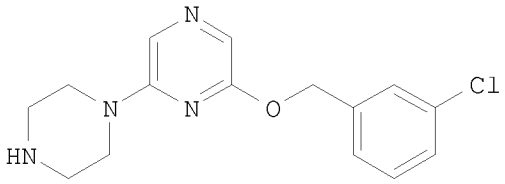

II

AB Title compds. (I) [wherein X and Z = independently CR; R = H, halo, alkyl(amino), or amino; W = O, S, NH, alkylamino, or acetylamino; at least one of R1, R5, R6, or R7 = independently halo, NO2, (alkyl)amino, CN, CONH2, (halo)alkyl, or alkoxy; or C2R1R5 = 5- or 6-membered aromatic or fused ring; or R1 taken together with R2 or R8 forms a 5- or 6-membered fused ring; R2 and R8 = independently H or (cyclo)alkyl; n = 0-2; R3 and R9 = independently H, halo, alkyl, or alkyl substituted with OH, F, or alkoxy; R4 = H, OH, (hydroxy)alkyl, cyanoalkyl, alkylcarbonyl, alkoxy(carbonyl), or alkenyl; or N-oxides, prodrugs, pharmaceutically acceptable salts, solvates, or hydrates thereof] were prepared as 5-hydroxytryptamine (5-HT) receptor ligands, in particular 5-HT2C receptor ligands. For instance, 2,6-dichloropyrazine was coupled with piperazine-1-carboxylic acid tert-Bu ester using Na2CO3 in t-BuOH to give 6'-chloro-2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-carboxylic acid tert-Bu ester. Substitution with 3-chlorobenzyl alc. in the presence of KOH and 18-crown-6 in toluene followed by deesterification afforded 6'-(3-chlorobenzyloxy)-3,4,5,6-tetrahydro-2H-[1,2']bipyrazinyl (II). Compds. of the invention demonstrated affinity at the serotonin 5HT2A and 5HT2C binding sites with Ki values ranging from 0.5 nM to 1.0 μM and 0.1 nM to 586.5 nM, resp. In a functional assay using 5-HT2C expressed NIH 3T3 cells, II displayed EC50 ≤ 1.0 μM. I and pharmaceutical compns. containing I are useful for the treatment of diseases linked to the activation of 5-HT2 receptors, such as sexual dysfunction (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:5934 CAPLUS
DOCUMENT NUMBER: 138:73272
TITLE: Preparation of piperazinylpyrimidines as 5-HT2 receptor ligands for treatment of sexual disorders
INVENTOR(S): Chiang, Yuan-ching Phoebe; Novomisle, William Albert; Welch, Willard Mckowan
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2003000663 | A1 | 20030103 | WO 2002-IB2261 | 20020617 |
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| US 6825198 | B2 | 20041130 | | |
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| US 6894050 | B2 | 20050517 | | |
| CA 2450491 | A1 | 20030103 | CA 2002-2450491 | 20020617 |
| AU 2002309173 | A1 | 20030108 | AU 2002-309173 | 20020617 |
| AU 2002309173 | B2 | 20070426 | | |
| NZ 529542 | A | 20031219 | NZ 2002-529542 | 20020617 |
| NZ 529543 | A | 20031219 | NZ 2002-529543 | 20020617 |
| EP 1401819 | A1 | 20040331 | EP 2002-735853 | 20020617 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002010503 | A | 20040518 | BR 2002-10503 | 20020617 |
| EE 200400025 | A | 20040615 | EE 2004-25 | 20020617 |
| HU 2004000249 | A2 | 20040830 | HU 2004-249 | 20020617 |
| JP 2004534823 | T | 20041118 | JP 2003-507068 | 20020617 |
| CN 1630645 | A | 20050622 | CN 2002-813734 | 20020617 |
| ZA 2003008842 | A | 20041123 | ZA 2003-8842 | 20031113 |
| ZA 2003008843 | A | 20041123 | ZA 2003-8843 | 20031113 |
| IN 2003MN01071 | A | 20060106 | IN 2003-MN1071 | 20031121 |
| NO 2003005698 | A | 20040217 | NO 2003-5698 | 20031219 |
| BG 108495 | A | 20040831 | BG 2003-108495 | 20031222 |
| BG 108491 | A | 20050131 | BG 2003-108491 | 20031222 |
| US 20050020604 | A1 | 20050127 | US 2004-922198 | 20040819 |
| US 20050090503 | A1 | 20050428 | US 2004-922058 | 20040819 |
| US 20050032809 | A1 | 20050210 | US 2004-942345 | 20040916 |
| US 6995159 | B2 | 20060207 | | |
| US 20050054656 | A1 | 20050310 | US 2004-942346 | 20040916 |
| PRIORITY APPLN. INFO.: | | | US 2001-299953P | P 20010621 |
| | | | US 2002-156884 | A3 20020528 |
| | | | US 2002-163881 | A3 20020605 |
| | | | WO 2002-IB2261 | W 20020617 |
| OTHER SOURCE(S): | MARPAT 138:73272 | | | |

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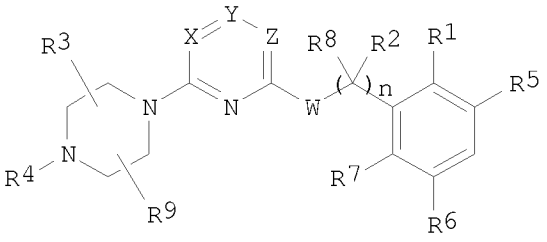

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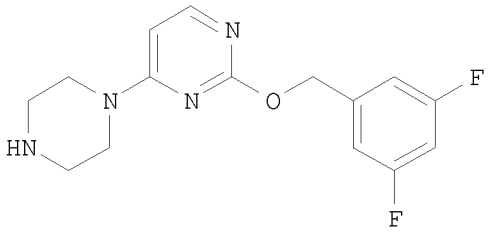

II

AB Title compds. (I) [wherein X and Y = CR and Z = N; or Y and Z = CR and X = N; R = H, halo, alkyl(amino), or amino; W = O, S, NH, alkylamino, or acetylamino; at least one of R1, R5, R6, or R7 = independently halo, NO2, (alkyl)amino, CN, CONH2, (halo)alkyl, or alkoxy; or C2R1R5 = 5- or 6-membered aromatic or fused ring; or R1 taken together with R2 or R8 forms a 5- or 6-membered fused ring; R2 and R8 = independently H or (cyclo)alkyl; n = 0-2; R3 and R9 = independently H, halo, alkyl, or alkyl substituted with OH, F, or alkoxy; R4 = H, OH, (hydroxy)alkyl, cyanoalkyl, alkylcarbonyl, alkoxy(carbonyl), or alkenyl; or N-oxides, prodrugs, pharmaceutically acceptable salts, solvates, or hydrates thereof] were prepared as 5-hydroxytryptamine (5-HT) receptor ligands, in particular 5-HT2C receptor ligands. For example, 2,4-dichloropyrimidine was coupled with piperazine-1-carboxylic acid tert-Bu ester using Na2CO3 in EtOH to give 4-(2-chloropyrimidin-4-yl)piperazine-1-carboxylic acid tert-Bu ester. Substitution with 3,5-difluorobenzyl alc. using NaH in THF afforded 4-[2-(3,5-difluorobenzyloxy)pyrimidin-4-yl]piperazine-1-carboxylic acid tert-Bu ester. Deesterification followed by conversion to the salt produced II•xHCl. Compds. of the invention demonstrated affinity at the serotonin 5HT2A and 5HT2C binding sites with Ki values ranging from 0.5 nM to 625 nM and 0.2 nM to 238 nM, resp. In functional assays, II acted as a partial agonist using 5-HT2A and 5-HT2C expressed NIH 3T3 cells with EC50 values in the range of 0.16 μM to 7.6 μM and 0.016 μM to 7.0 μM, resp. I and pharmaceutical compns. containing I are useful for the treatment of diseases linked to the activation of 5-HT2 receptors, such as sexual dysfunction (no data).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:777881 CAPLUS

DOCUMENT NUMBER: 137:278918

TITLE: Preparation of cyclopentyl-substituted glutaric acid monoamides as neutral endopeptidase inhibitors for treating female sexual arousal disorder and related conditions

INVENTOR(S): Challenger, Stephen; Cook, Andrew Simon; Gillmore, Adam Thomas; Middleton, Donald Stuart; Pryde, David Cameron; Stobie, Alan

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002079143 | A1 | 20021010 | WO 2002-IB807 | 20020318 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20030105132 | A1 | 20030605 | US 2002-96218 | 20020312 |
| US 6660756 | B2 | 20031209 | | |
| CA 2437113 | A1 | 20021010 | CA 2002-2437113 | 20020318 |
| AU 2002241201 | A1 | 20021015 | AU 2002-241201 | 20020318 |
| EP 1373192 | A1 | 20040102 | EP 2002-707042 | 20020318 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| EE 200300469 | A | 20040216 | EE 2003-469 | 20020318 |
| HU 2003003624 | A2 | 20040301 | HU 2003-3624 | 20020318 |
| HU 2003003624 | A3 | 20050628 | | |
| BR 2002008455 | A | 20040302 | BR 2002-8455 | 20020318 |
| CN 1492852 | A | 20040428 | CN 2002-805409 | 20020318 |
| JP 2004531505 | T | 20041014 | JP 2002-577770 | 20020318 |
| JP 4018545 | B2 | 20071205 | | |
| NZ 527012 | A | 20050324 | NZ 2002-527012 | 20020318 |
| TW 254038 | B | 20060501 | TW 2002-91105650 | 20020322 |
| AP 1689 | A | 20061231 | AP 2002-2467 | 20020328 |
| W: BW, GM, GH, KE, LS, MW, MZ, SL, SD, SZ, TZ, UG, ZM, ZW | | | | |
| IN 2003MN00704 | A | 20051111 | IN 2003-MN704 | 20030716 |
| MX 2003PA06597 | A | 20041015 | MX 2003-PA6597 | 20030723 |
| ZA 2003005721 | A | 20040726 | ZA 2003-5721 | 20030724 |
| BG 108130 | A | 20040730 | BG 2003-108130 | 20030825 |
| NO 2003004299 | A | 20031127 | NO 2003-4299 | 20030926 |
| US 20040106611 | A1 | 20040603 | US 2003-696021 | 20031028 |
| US 6849649 | B2 | 20050201 | | |
| HK 1060724 | A1 | 20060818 | HK 2004-103713 | 20040525 |
| IN 2004MN00599 | A | 20050520 | IN 2004-MN599 | 20041026 |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| GB 2001-7750 | A | 20010328 |
| GB 2001-13112 | A | 20010530 |
| GB 2001-20152 | A | 20010817 |
| US 2001-292485P | P | 20010521 |
| US 2001-299031P | P | 20010618 |
| US 2001-317777P | P | 20010906 |
| US 2002-96218 | A3 | 20020312 |
| WO 2002-IB807 | W | 20020318 |
| IN 2003-MN704 | A3 | 20030716 |

OTHER SOURCE(S): MARPAT 137:278918
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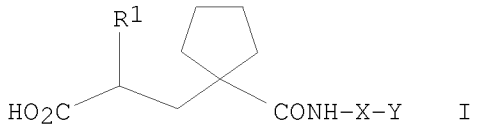

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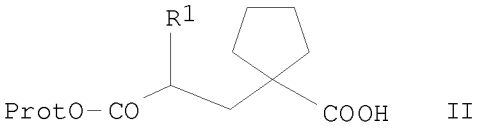

II

AB The invention relates to cyclopentyl-substituted glutaric acid monoamides (shown as I; e.g. (2S)-2-[[1-[[[3-(4-chlorophenyl)propyl]amino]carbonyl]cyclopentyl]methyl]-4-methoxybutanoic acid), inhibition of neutral endopeptidase (NEP) enzyme, methods of preparation and uses, e.g. treating female sexual arousal disorder. In I, R1 is optionally substituted C1-6alkyl, carbocyclyl, heterocyclyl, H, C1-6alkoxy, amino, or sulfonylamino. X is the linkage -(CH2)n- or -(CH2)q-O- (wherein Y is attached to the O); wherein one or more H atoms in linkage X may be replaced independently by C1-4alkoxy; hydroxy; hydroxyC1-3alkyl; C3-7cycloalkyl; carbocyclyl; heterocyclyl; or by C1-4alkyl optionally substituted by one or more fluoro or Ph groups; n is 3-7; and q is 2-6; and Y is optionally substituted Ph or pyridyl. One process for preparing I involves reacting II (Prot = protecting group) with Y-X-NH2 to give protected I, which is then deprotected and later optionally converted to a salt; other methods involve asym. hydrogenation of an alkene precursor to II. More than 100 example prepns. of intermediates and claimed compds. are included; most of the claimed compds. are N-phenpropyl amides. IC50 values against neutral endopeptidase and selectivity against neutral endopeptidase vs. ACE are given for some of the claimed compds.; for example, 3-[1-[[[3-(2,3-dihydrobenzofuran-5-yl)propyl]amino]carbonyl]cyclopentyl]propanoic acid showed an IC50 against NEP of 3 nM and a >300 selectivity against ACE. Test results for use of (2S)-2-[[1-[[[3-(4-chlorophenyl)propyl]amino]carbonyl]cyclopentyl]methyl]-4-methoxybutanoic acid in rabbit models of female sexual arousal response and male erectile response are included.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:391522 CAPLUS

DOCUMENT NUMBER: 136:395983

TITLE: Bombesin receptor antagonists, and combinations with other agents, for the treatment of sexual dysfunction

INVENTOR(S): Gonzalez, Maria Isabel; Stock, Herman Thijs; Pinnock, Robert Denham; Pritchard, Martyn Clive; Wayman, Christopher Peter; Van der Graaf, Pieter Hadewijn; Naylor, Alisdair Mark; Higginbottom, Michael

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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     WO 2002040008         A3    20020822
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
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     WO 2002040022         A1    20020523    WO 2000-GB4380          20001117
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             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
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     CA 2429106            A1    20020523    CA 2001-2429106         20011114
     AU 2002023802         A     20020527    AU 2002-23802           20011114
     EP 1333824            A2    20030813    EP 2001-994552          20011114
     EP 1333824            B1    20050907
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     BR 2001015364         A     20030923    BR 2001-15364           20011114
     HU 2003001892         A2    20031128    HU 2003-1892            20011114
     HU 2003001892         A3    20050628
     JP 2004522710         T     20040729    JP 2002-542382          20011114
     NZ 525415             A     20041126    NZ 2001-525415          20011114
     AT 303804             T     20050915    AT 2001-994552          20011114
     MX 2003PA03482        A     20040910    MX 2003-PA3482          20030416
     US 20040087561        A1    20040506    US 2003-416934          20031204
PRIORITY APPLN. INFO.:                       WO 2000-GB4380      W   20001117
                                             GB 2001-9910        A   20010423
                                             GB 2001-11037       A   20010504
                                             WO 2001-GB5018      W   20011114
OTHER SOURCE(S):         MARPAT 136:395983
AB   Bombesin receptor antagonists have been found to be useful in the
     treatment of sexual dysfunction in both males and females.  They may be
     selective BB1 antagonists or mixed BB1/BB2 antagonists.  Combinations are
     disclosed of bombesin receptor antagonists with a range of other active
     compds., for example phosphodiesterase V inhibitors, neutral endopeptidase
     inhibitors, and lasofoxifene.  Preparation of compds. of the invention is
     described.


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L13          0 L8 AND L10

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FULL ESTIMATED COST                                  175.87     176.08

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FILE 'BIOSIS' ENTERED AT 10:24:04 ON 03 SEP 2008
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=> s arousal disorder
L14        806 AROUSAL DISORDER

=> s neuropeptide Y
L15      35811 NEUROPEPTIDE Y

=> s L14 and L15
L16          0 L14 AND L15

=> s sexual dysfunction
L17      25545 SEXUAL DYSFUNCTION

=> s L15 and L17
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L20  ANSWER 1 OF 9     MEDLINE on STN
ACCESSION NUMBER:   2002428331     MEDLINE
DOCUMENT NUMBER:    PubMed ID: 12184992
TITLE:              Disinhibition of female sexual behavior by a CRH receptor
                    antagonist in Syrian hamsters.
AUTHOR:             Jones Juli E; Pick Rebecca R; Davenport Matthew D; Keene
                    Alex C; Corp Eric S; Wade George N
CORPORATE SOURCE:   Center for Neuroendocrine Studies, University of
                    Massachusetts, Amherst, Massachusetts 01003, USA..
                    jones@cns.umass.edu
CONTRACT NUMBER:    DK-55829 (United States NIDDK)
                    MH-00321 (United States NIMH)
                    MH-20051 (United States NIMH)
                    NS-10873 (United States NINDS)
SOURCE:             American journal of physiology. Regulatory, integrative and
                    comparative physiology, (2002 Sep) Vol. 283, No.
                    3, pp. R591-7.
                    Journal code: 100901230. ISSN: 0363-6119.
PUB. COUNTRY:       United States
DOCUMENT TYPE:      Journal; Article; (JOURNAL ARTICLE)
                    (RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE:           English
FILE SEGMENT:       Priority Journals
ENTRY MONTH:        200209
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ENTRY DATE: Entered STN: 20 Aug 2002
Last Updated on STN: 20 Sep 2002
Entered Medline: 19 Sep 2002

AB Several conditions that inhibit female sexual behavior are thought to be associated with altered corticotropin-releasing hormone (CRH) activity in the brain. The present experiments examined the hypothesis that endogenous CRH receptor signaling mediates the inhibition of estrous behavior by undernutrition and in other instances of sexual dysfunction. Intracerebroventricular (ICV) infusion of CRH or urocortin inhibited estrous behavior in ovariectomized steroid-primed hamsters. Conversely, ICV infusion of the CRH receptor antagonist astressin prevented the suppression of estrous behavior by food deprivation or by ICV administration of neuropeptide Y . Astressin treatment also induced sexual receptivity in nonresponders, animals that do not normally come into heat when treated with hormones, and this effect persisted in subsequent weekly tests in the absence of any further astressin treatment. Activation of the hypothalamo-pituitary-adrenocortical axis was neither necessary nor sufficient to inhibit estrous behavior, indicating that this phenomenon is due to other central actions of CRH receptor agonists. This is the first direct evidence that CRH receptor signaling may be a final common pathway by which undernutrition and other conditions inhibit female sexual behavior.

L20 ANSWER 2 OF 9 MEDLINE on STN
ACCESSION NUMBER: 1995357007 MEDLINE
DOCUMENT NUMBER: PubMed ID: 7630583
TITLE: Sexual function in altered physiological states: comparison of effects of hypertension, diabetes, hyperprolactinemia, and others to "normal" aging in male rats.
AUTHOR: Clark J T
CORPORATE SOURCE: Department of Physiology, Meharry Medical College, Nashville, TN 37208, USA.
CONTRACT NUMBER: GM-08037 (United States NIGMS)
HL-02482 (United States NHLBI)
RR-03032 (United States NCRR)
SOURCE: Neuroscience and biobehavioral reviews, (1995 Summer) Vol. 19, No. 2, pp. 279-302. Ref: 197
Journal code: 7806090. ISSN: 0149-7634.
PUB. COUNTRY: United States
DOCUMENT TYPE: (COMPARATIVE STUDY)
Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
General Review; (REVIEW)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199509
ENTRY DATE: Entered STN: 21 Sep 1995
Last Updated on STN: 21 Sep 1995
Entered Medline: 7 Sep 1995

AB In this review, we examine the changes in sexual function that accompany deviations from "normal" physiological states. We propose that the changes one observes in many altered physiological states should not be viewed in isolation. We describe our paradigms for assessing sexual function, and proceed to evaluate how sexual function changes with hormonal deprivation and aging, in rat models for hypertension, in severe hyperprolactinemia, in streptozotocin-induced diabetes, after chronic alcohol intake, after chronic morphine administration, and after exposure to the heavy metal, cadmium. We will provide evidence for the involvement of adrenergic transmitters and two neuropeptides, neuropeptide Y and somatostatin, in the neuroendocrine regulation of sexual behavior. Finally, we compare and contrast the changes observed relative

to the changes seen in "normal" aging in rats. The sequence of age-related changes in sexual function is distinct. The first change observed is a decrement in ex copula erectile reflexes. Next are decreases in ejaculatory threshold, followed shortly by increases in initiation and reinitiation of copulation after ejaculation. This is followed by a decrement in the number of males copulating to ejaculation. Finally, there is a failure to initiate the copulatory process. This sequelae is relatively common, being evident after castration, with hyperprolactinemia, and after exposure to cadmium. The data available for sexual function in hypertension is incomplete and modified by the etiology, but a suggestion for this sequelae is seen in SHR. In contrast, sexual dysfunction associated with chronic morphine administration appears to be due to an initial deficit in motivational aspects. Testosterone reverses sexual dysfunction associated with castration, but not with idiopathic sexual inactivity, nor with sexual dysfunction associated with aging, diabetes, or chronic morphine administration. Comparing sexual function in rat models for hypertension, diabetes and chronic ethanol leads to the conclusion that increases in blood pressure, like decreases in testosterone, cannot be the primary causal factor for sexual dysfunction. Age, hormonal history of the subject, and the age at castration influence changes in sexual function. Age-related sexual dysfunction appears to be contributed to by changes in adrenergic-neuropeptidergic, to include sympathetic, systems. Site-specific administration of NPY induces alterations in parameters of copulatory behavior which mimic those seen in aging and the retention of ejaculatory behavior with aging is associated with site-selective attenuation (or reversal) of age-associated changes in NPY content. Yohimbine enhances copulatory activity in castrated and aging rats, and attenuates or reverses the antisexual effects of clonidine, epinephrine and somatostatin.(ABSTRACT TRUNCATED AT 400 WORDS)

L20 ANSWER 3 OF 9 EMBASE 

ACCESSION NUMBER: 2002353675 EMBASE

TITLE: Functional continuum of regulatory peptides (RPs): Vector model of RP-effects representation.

AUTHOR: Koroleva, S.V. (correspondence); Ashmarin, I.P.

CORPORATE SOURCE: Department of Biology, Moscow State University, Vorobievy Gory, Moscow 119899, Russian Federation. kor-lana@mtu-net.ru

SOURCE: Journal of Theoretical Biology, (2002) Vol. 216, No. 3, pp. 257-271.
Refs: 126
ISSN: 0022-5193 CODEN: JTBIAP

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 030 Clinical and Experimental Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 17 Oct 2002
Last Updated on STN: 17 Oct 2002

AB During the past decades, bioactive (regulatory) peptides have been identified as the major players in the regulation of many important biological processes. Dozens of peptides have found their application as pharmaceutical agents, which further stimulated research in this field making it one of the most rapidly developing areas on the edge of biological science and medicine. However, the fast accumulation of enormous amounts of experimental data has revealed a great difficulty in their analysis and demanded the development of a systematic approach for

generalization of the obtained information. We propose a new computer-based algorithm for studying biological activities of regulatory peptides and their groups based on their representation as vectors in n-dimensional functional space. Our method allows the rapid analysis of databases containing thousands of polyfunctional regulatory peptides with overlapping spectra of physiological activity. The described method permits to perform several types of correlations which, when applied to the large databases, could reveal new important information about the system of regulatory peptides. It can select the groups of peptides with similar physiological role (peptide constellations) and search for the optimal peptide combinations with predetermined spectrum of effects and minimal side effects for their further pharmacological application. It can also reveal the role of regulatory peptides in induction of chain physiological reactions. .COPYRGT. 2002 Elsevier Science Ltd. All rights reserved.

L20 ANSWER 4 OF 9 EMBASE COPYRIGHT (c) 2008 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2002308030 EMBASE
TITLE: Disinhibition of female sexual behavior by a CRH receptor antagonist in Syrian hamsters.
AUTHOR: Jones, Juli E. (correspondence); Pick, Rebecca R.; Davenport, Matthew D.; Keene, Alex C.; Corp, Eric S.; Wade, George N.
CORPORATE SOURCE: Center for Neuroendocrine Studies, Univ. of Massachusetts, 135 Hicks Way, Amherst, MA 01003, United States. jones@cns.umass.edu
SOURCE: American Journal of Physiology - Regulatory Integrative and Comparative Physiology, (Sep 2002) Vol. 283, No. 3 52-3, pp. R591-R597.
Refs: 40
ISSN: 0363-6119 CODEN: AJPRDO
COUNTRY: United States
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 002 Physiology
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 19 Sep 2002
Last Updated on STN: 19 Sep 2002

AB Several conditions that inhibit female sexual behavior are thought to be associated with altered corticotropin-releasing hormone (CRH) activity in the brain. The present experiments examined the hypothesis that endogenous CRH receptor signaling mediates the inhibition of estrous behavior by undernutrition and in other instances of sexual dysfunction. Intracerebroventricular (ICV) infusion of CRH or urocortin inhibited estrous behavior in ovariectomized steroid-primed hamsters. Conversely, ICV infusion of the CRH receptor antagonist astressin prevented the suppression of estrous behavior by food deprivation or by ICV administration of neuropeptide Y . Astressin treatment also induced sexual receptivity in non-responders, animals that do not normally come into heat when treated with hormones, and this effect persisted in subsequent weekly tests in the absence of any further astressin treatment. Activation of the hypothalamo-pituitary-adrenocortical axis was neither necessary nor sufficient to inhibit estrous behavior, indicating that this phenomenon is due to other central actions of CRH receptor agonists. This is the first direct evidence that CRH receptor signaling may be a final common pathway by which undernutrition and other conditions inhibit female sexual behavior.

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ACCESSION NUMBER: 2002220467 EMBASE

TITLE: News focus.
SOURCE: Current Drug Discovery, (2002) No. JUNE, pp. 13-16.
ISSN: 1472-7463 CODEN: CDDUAI
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Note
FILE SEGMENT: 030 Clinical and Experimental Pharmacology
037 Drug Literature Index
039 Pharmacy
006 Internal Medicine
LANGUAGE: English
ENTRY DATE: Entered STN: 11 Jul 2002
Last Updated on STN: 11 Jul 2002

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ACCESSION NUMBER: 2002156783 EMBASE
TITLE: [Peptide receptors symposium - Montreal 2001: From gene to therapy].
Symposium sur les recepteurs des peptides - Montreal 2001: Du gene a la therapie.
AUTHOR: Regoli, Domenico; Quirion, Remi; Couture, Rejean
SOURCE: Canadian Journal of Physiology and Pharmacology, (2002) Vol. 80, No. 4, pp. i-ii.
ISSN: 0008-4212 CODEN: CJPPA3
COUNTRY: Canada
DOCUMENT TYPE: Journal; Conference Article; (Conference paper)
FILE SEGMENT: 018 Cardiovascular Diseases and Cardiovascular Surgery
029 Clinical and Experimental Biochemistry
032 Psychiatry
037 Drug Literature Index
008 Neurology and Neurosurgery
LANGUAGE: English; French
ENTRY DATE: Entered STN: 16 May 2002
Last Updated on STN: 16 May 2002

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ACCESSION NUMBER: 2001009795 EMBASE
TITLE: Melanocortin receptors: New opportunities in drug discovery.
AUTHOR: Wikberg, J.E.S. (correspondence)
CORPORATE SOURCE: Dept. of Pharmaceutical Biosciences, Division of Pharmacology, Uppsala University, Box 591 BMC, SE-751 24 Uppsala, Sweden. Jarl.Wikberg@farmbio.uu.se
SOURCE: Expert Opinion on Therapeutic Patents, (2001) Vol. 11, No. 1, pp. 61-76.
Refs: 43
ISSN: 1354-3776 CODEN: EOTPEG
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; General Review; (Review)
FILE SEGMENT: 003 Endocrinology
030 Clinical and Experimental Pharmacology
037 Drug Literature Index
039 Pharmacy
008 Neurology and Neurosurgery
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 19 Jan 2001
Last Updated on STN: 19 Jan 2001
AB The cloning of five different subtypes of melanocortin receptors, MC(1-5), have provided new opportunities for the discovery of drugs that may be useful for the treatment of a variety of clinically important conditions,

including MC(1) receptor agonists for inflammatory diseases, MC(3) receptor agonists for sexual dysfunctions and MC(4) receptor agonists and antagonists for treatment of obesity, anorexia and drug abuse. This review discusses patents covering the cloning of the MC receptors, the endogenous MC receptor antagonists agouti signalling peptide and agouti related protein and novel compounds target towards the MC receptors.

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ACCESSION NUMBER: 1995146706 EMBASE
TITLE: Neuropeptide Y: A promising therapeutic target.
AUTHOR: Dhanoa, D.S. (correspondence)
CORPORATE SOURCE: Synaptic Pharmaceutical Corporation, 215 College Road, Paramus, NJ 07652 1410, United States.
SOURCE: Expert Opinion on Therapeutic Patents, (1995) Vol. 5, No. 5, pp. 391-396.
ISSN: 1354-3776 CODEN: EOTPEG
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; General Review; (Review)
FILE SEGMENT: 005 General Pathology and Pathological Anatomy
040 Drug Dependence, Alcohol Abuse and Alcoholism
037 Drug Literature Index
032 Psychiatry
030 Clinical and Experimental Pharmacology
002 Physiology
018 Cardiovascular Diseases and Cardiovascular Surgery
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 12 Jun 1995
Last Updated on STN: 12 Jun 1995

AB Neuropeptide Y is one of the most abundant and widely distributed peptides in both the central and peripheral nervous systems. It plays important physiological and pathophysiological roles in cardiovascular, eating and sleep disorders as well as depression, anxiety, pain, cocaine withdrawal and sexual dysfunction. Thus, it offers promising opportunities for therapeutic intervention. This article reviews the patent literature in the Neuropeptide Y area of drug discovery and assesses the therapeutic value of the latest pharmacological tools and agents.

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ACCESSION NUMBER: 2002:144667 BIOSIS
DOCUMENT NUMBER: PREV200200144667
TITLE: Spiro compounds.
AUTHOR(S): Fukami, Takehiro [Inventor, Reprint author]; Kanatani, Akio [Inventor]; Ishihara, Akane [Inventor]; Ishii, Yasuyuki [Inventor]; Takahashi, Toshiyuki [Inventor]; Haga, Yuji [Inventor]; Sakamoto, Toshihiro [Inventor]; Itoh, Takahiro [Inventor]
CORPORATE SOURCE: Tsukuba, Japan
ASSIGNEE: Banyu Pharmaceutical Co., Ltd., Tokyo, Japan
PATENT INFORMATION: US 6335345 20020101
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Jan. 1, 2002) Vol. 1254, No. 1. http://www.uspto.gov/web/menu/patdata.html. e-file.
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Feb 2002
Last Updated on STN: 26 Feb 2002

AB Spiro compounds of the general formula (I): ##STR1## wherein Ar1 represents an optionally substituted aryl or heteroaryl; n represents 0 or 1; T, U, V and W each represent a nitrogen atom or an optionally substituted methine group, wherein at least two of which represent said methine group; X represents methine; Y represents an optionally substituted imino or oxygen atom. These novel spiro compounds exhibit neuropeptide Y receptor (NPY) antagonistic activities and are useful as agents for the treatment of various diseases related to NPY, for example, cardiovascular disorders, central nervous system disorders, metobolic diseases and the like.

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